

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Hong Lin Examiner #: 71011 Date: 8/11/03
 Art Unit: 1624 Phone Number 30 6-5814 Serial Number: 09/960,634
 Mail Box and Bldg/Room Location: 4601 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Cmpds to treat Alzheimer's

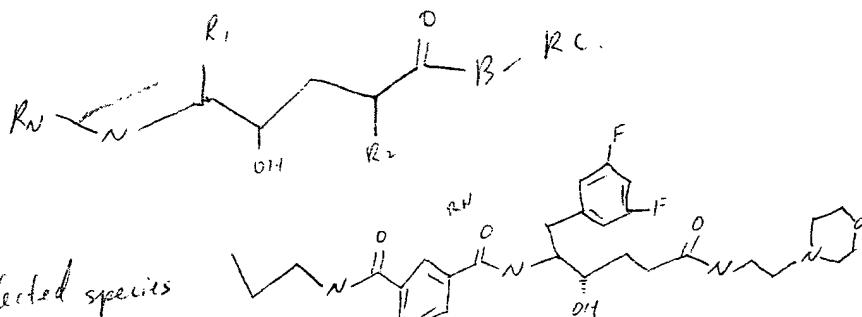
Inventors (please provide full names): Hong R Mam S Tung J
Gailunas A

Earliest Priority Filing Date:

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Barb please!

REC'D
8/12/03
SAC



STAFF USE ONLY		Type of Search	Vendors and cost where applicable
Searcher: <u>BKB</u>		NA Sequence (#)	STN <u>203</u>
Searcher Phone #:		AA Sequence (#)	Dialog
Searcher Location:		Structure (#)	Questel/Orbit
Date Searcher Picked Up:		Bibliographic	Dr. Link
Date Completed: <u>8-18-03</u>		Litigation	Lexis/Nexis
Searcher Prep & Review Time:		Fulltext	Sequence Systems
Clerical Prep Time:		Patent Family	WWW/Internet
Online Time: <u>8-8</u>		Other	Other (specify)

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STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 100919

TO: Hong Liu
Location: CM1/4E01/4E12
Art Unit: 1624
Monday, August 18, 2003

Case Serial Number: 09/960634

From: Barb O'Bryen
Location: Biotech-Chem Library
CM1-6A05
Phone: 308-4291

BobB
barbara.obryen@uspto.gov

Search Notes

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STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact **the searcher or contact:**

Mary Hale, Information Branch Supervisor
308-4258, CM1-1E01

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* *Example: 1610*
- *Relevant prior art found, search results used as follows:*
- 102 rejection
 - 103 rejection
 - Cited as being of interest.
 - Helped examiner better understand the invention.
 - Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- Foreign Patent(s)
- Non-Patent Literature
(journal articles, conference proceedings, new product announcements etc.)

➤ *Relevant prior art not found:*

- Results verified the lack of relevant prior art (helped determine patentability).
- Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library CM1 – Circ. Desk



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=> fil reg; d stat que 113; fil capl; d que nos 115; fil uspatf; d que nos 116
FILE 'REGISTRY' ENTERED AT 10:20:24 ON 18 AUG 2003
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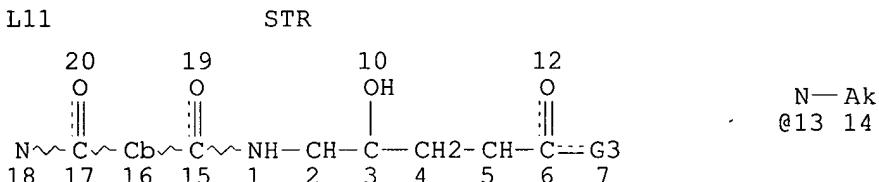
STRUCTURE FILE UPDATES: 15 AUG 2003 HIGHEST RN 567484-39-3
DICTIONARY FILE UPDATES: 15 AUG 2003 HIGHEST RN 567484-39-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>



VAR G3=O/NH/13

NODE ATTRIBUTES:

CONNECT IS E1 RC AT 14

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY LOC UNS AT 16

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L13 38 SEA FILE=REGISTRY SSS FUL L11

100.0% PROCESSED 174889 ITERATIONS

38 ANSWERS

SEARCH TIME: 00.00.14

FILE 'CAPLUS' ENTERED AT 10:20:24 ON 18 AUG 2003
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FILE COVERS 1907 - 18 Aug 2003 VOL 139 ISS 8
FILE LAST UPDATED: 17 Aug 2003 (20030817/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

L11 STR
L13 38 SEA FILE=REGISTRY SSS FUL L11
L15 3 SEA FILE=CAPLUS ABB=ON L13

FILE 'USPATFULL' ENTERED AT 10:20:24 ON 18 AUG 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 14 Aug 2003 (20030814/PD)
FILE LAST UPDATED: 14 Aug 2003 (20030814/ED)
HIGHEST GRANTED PATENT NUMBER: US6606748
HIGHEST APPLICATION PUBLICATION NUMBER: US2003154532
CA INDEXING IS CURRENT THROUGH 14 Aug 2003 (20030814/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 14 Aug 2003 (20030814/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2003

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published/granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
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>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
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>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

L11 STR
L13 38 SEA FILE=REGISTRY SSS FUL L11
L16 3 SEA FILE=USPATFULL ABB=ON L13

=> dup rem l15,l16
FILE 'CAPLUS' ENTERED AT 10:20:32 ON 18 AUG 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 10:20:32 ON 18 AUG 2003
 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
 PROCESSING COMPLETED FOR L15

PROCESSING COMPLETED FOR L16

L18 5 DUP REM L15 L16 (1 DUPLICATE REMOVED)
 ANSWERS '1-3' FROM FILE CAPLUS
 ANSWERS '4-5' FROM FILE USPATFULL

=> d ibib abs hitstr 1-5; fil cao; d que nos 117; fil hom

L18 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 1
 ACCESSION NUMBER: 2003:43054 CAPLUS
 DOCUMENT NUMBER: 138:107007
 TITLE: Preparation of 5-amino-4-hydroxypentanoic acid derivatives for treating Alzheimer's disease
 INVENTOR(S): Hom, Roy; Mamo, Shumeye; Tung, Jay; Gailunas, Andrea; John, Varghese; Fang, Lawrence
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 113 pp., Cont.-in-part of U. S. Ser. No. 815,960.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003013881	A1	20030116	US 2001-960634	20010921
US 2002019403	A1	20020214	US 2001-816876	20010323
US 2002022623	A1	20020221	US 2001-815960	20010323
PRIORITY APPLN. INFO.:			US 2000-191528P	P 20000323
			US 2001-815960	A2 20010323
			US 2001-816876	A2 20010323

OTHER SOURCE(S): MARPAT 138:107007

AB The invention is directed toward substituted hydroxyethylene compds. having the fragment -NHCHR₁CH(OH)CH₂CHR₂CO- [R₁ = alkyl, alkylthioalkyl, alkenyl, (hetero)aryl, (hetero)arylalkyl, heterocyclalkyl, or heterocycl; R₂ = H, alkyl, cycloalkylalkyl, or (hetero)aryl] for use in treating Alzheimer's disease and similar diseases. In an example, N-[(1S,2S,4R)-1-(3,5-difluorobenzyl)-4-(syn,syn)-(3,5-dimethoxycyclohexylcarbamoyl)-2-hydroxyhexyl]-N,N-dipropylisophthalamide was prep'd. by soln.-based methodol.

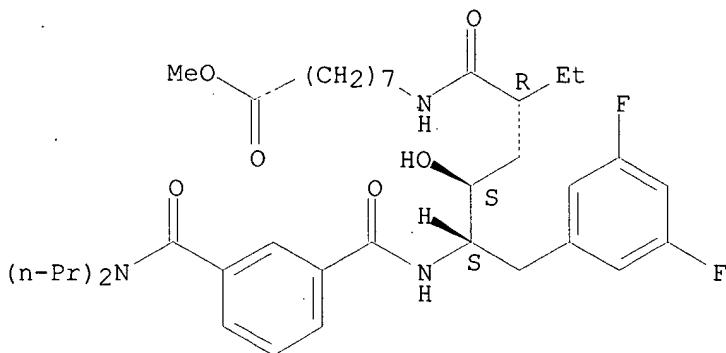
IT 362479-96-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

RN 362479-96-7 CAPLUS

CN Octanoic acid, 8-[[2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 362480-11-3P 362480-12-4P 362480-13-5P
 362480-14-6P 362480-15-7P 362480-16-8P
 362480-17-9P 362480-18-0P 362480-20-4P
 362480-21-5P 362480-22-6P 362480-23-7P
 362480-24-8P 362480-25-9P 362480-26-0P
 362480-27-1P 362480-28-2P 362480-29-3P
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 362480-33-9P 362480-35-1P 362480-36-2P
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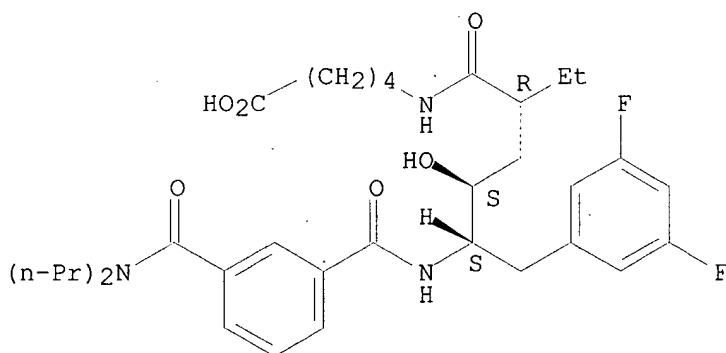
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

RN 362480-11-3 CAPLUS

CN Pentanoic acid, 5-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

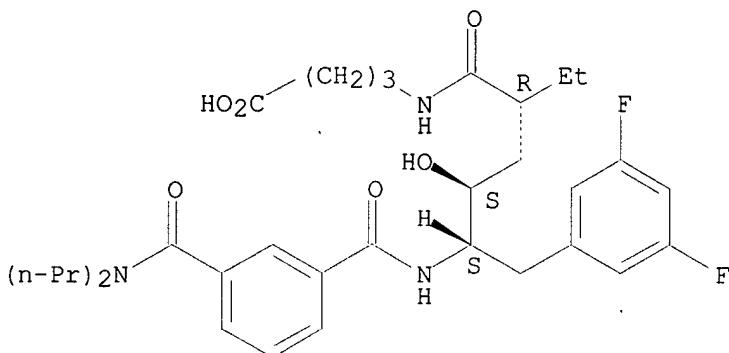
Absolute stereochemistry.



RN 362480-12-4 CAPLUS

CN Butanoic acid, 4-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

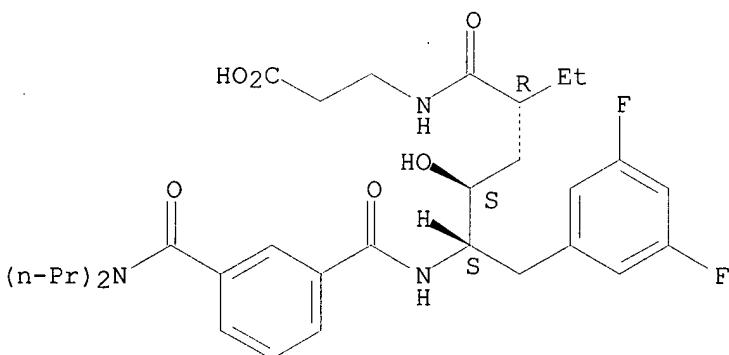
Absolute stereochemistry.



RN 362480-13-5 CAPLUS

CN .beta.-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]- (9CI) (CA INDEX NAME)

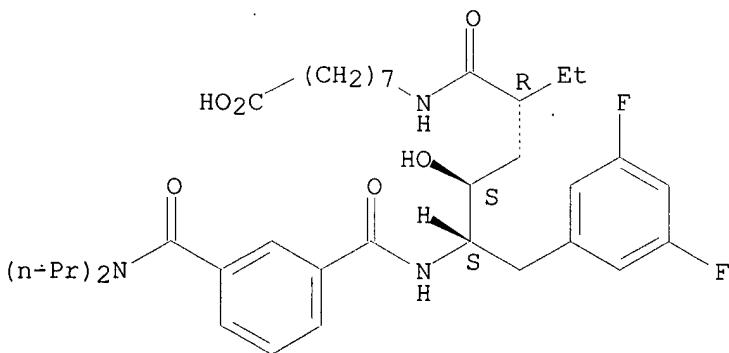
Absolute stereochemistry.



RN 362480-14-6 CAPLUS

CN Octanoic acid, 8-[[2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

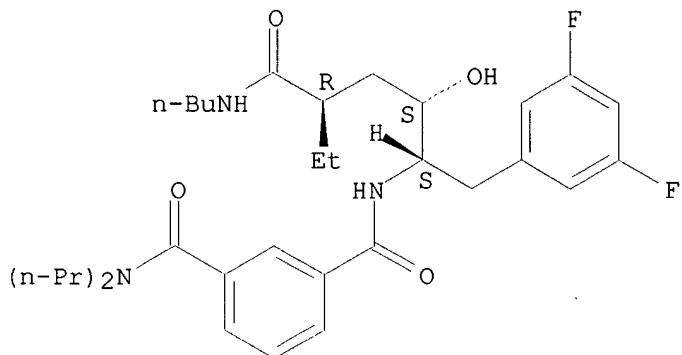


RN 362480-15-7 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX)

NAME)

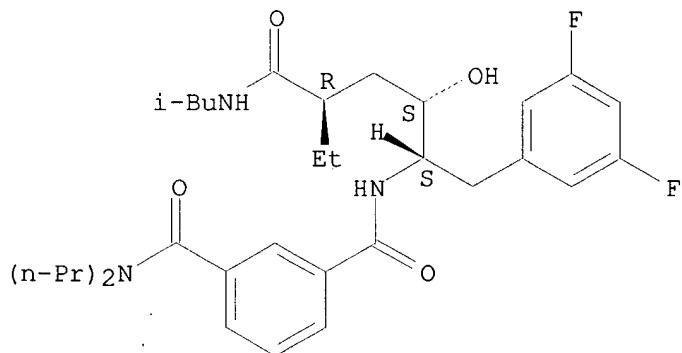
Absolute stereochemistry.



RN 362480-16-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[{(1*S*,2*S*,4*R*)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(2-methylpropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

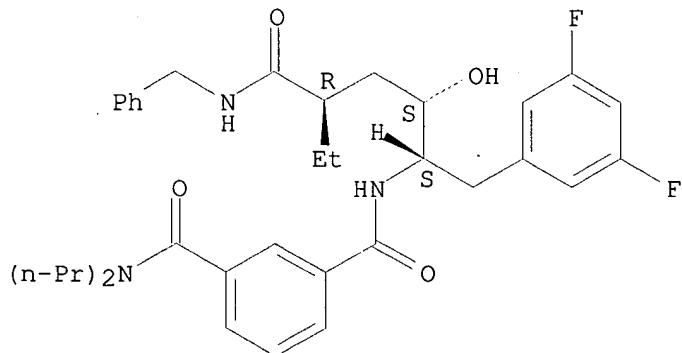
Absolute stereochemistry.



RN 362480-17-9 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[{(1*S*,2*S*,4*R*)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(phenylmethyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

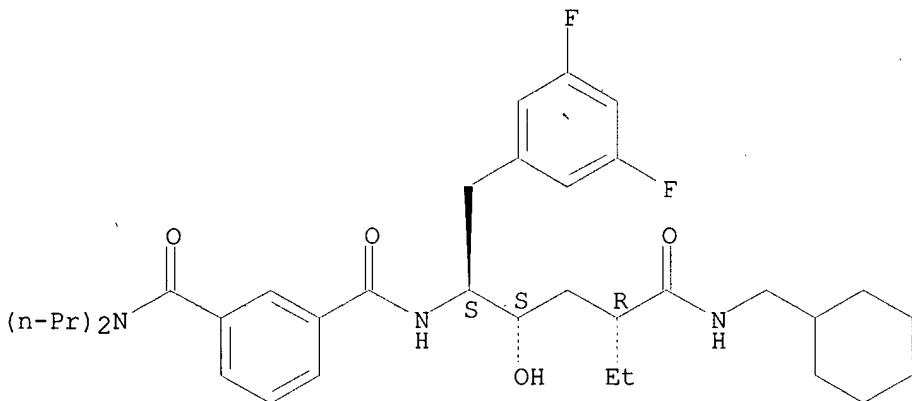
Absolute stereochemistry.



RN 362480-18-0 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[[(cyclohexylmethyl)amino]carbo-
nyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)
(CA INDEX NAME)

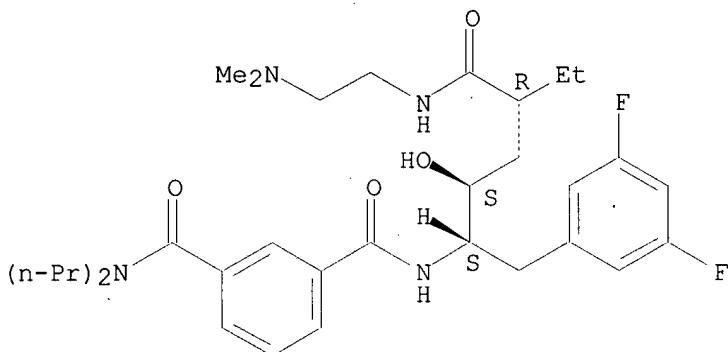
Absolute stereochemistry.



RN 362480-20-4 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-
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(9CI) (CA INDEX NAME)

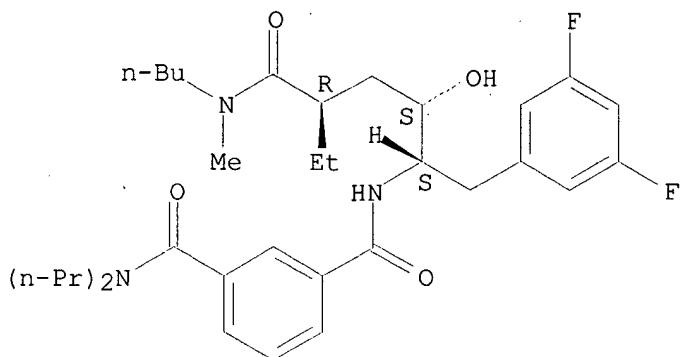
Absolute stereochemistry.



RN 362480-21-5 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-
[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA
INDEX NAME)

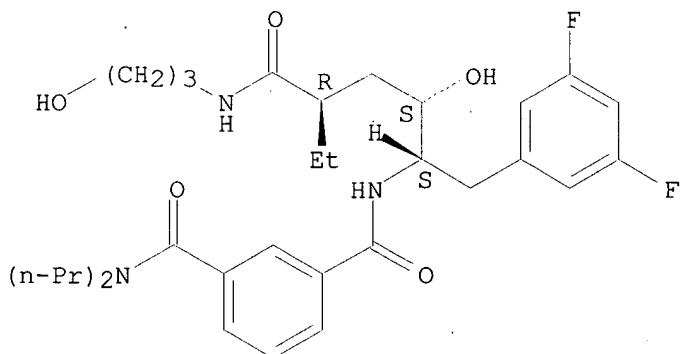
Absolute stereochemistry.



RN 362480-22-6 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(3-hydroxypropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

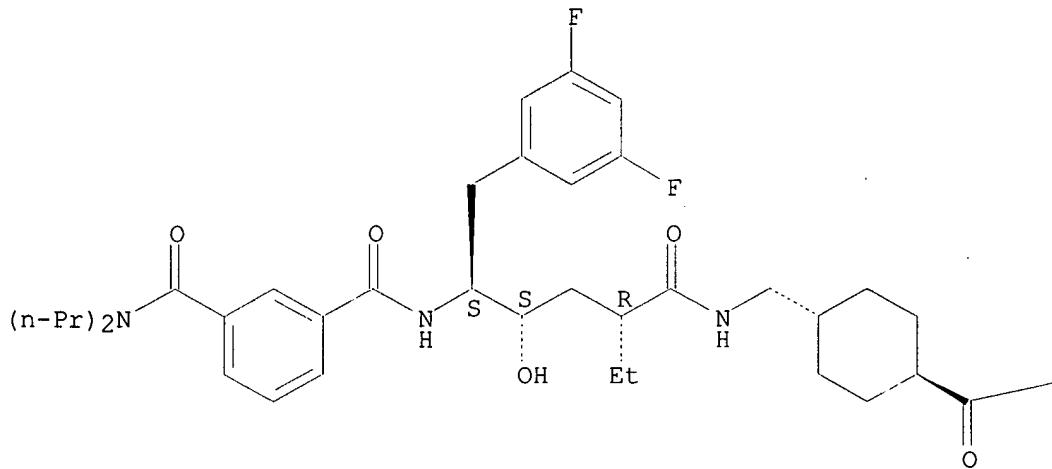


RN 362480-23-7 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



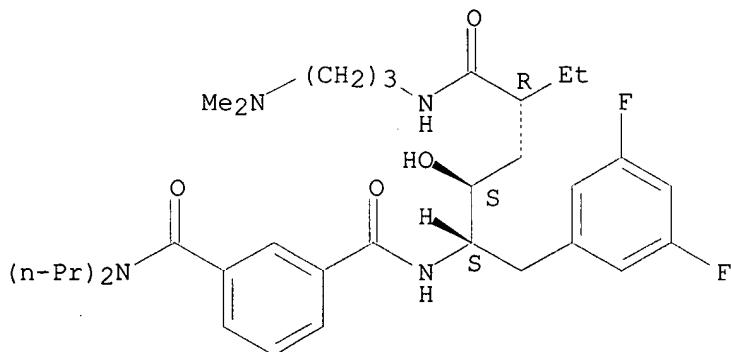
PAGE 1-B

—OMe

RN 362480-24-8 CAPLUS

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl-
(9CI) (CA INDEX NAME)

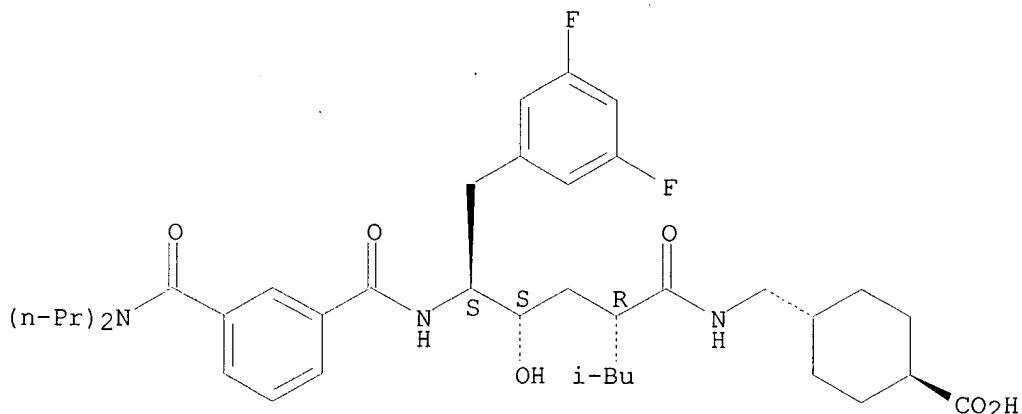
Absolute stereochemistry.



RN 362480-25-9 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-4-hydroxy-2-(2-methylpropyl)-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

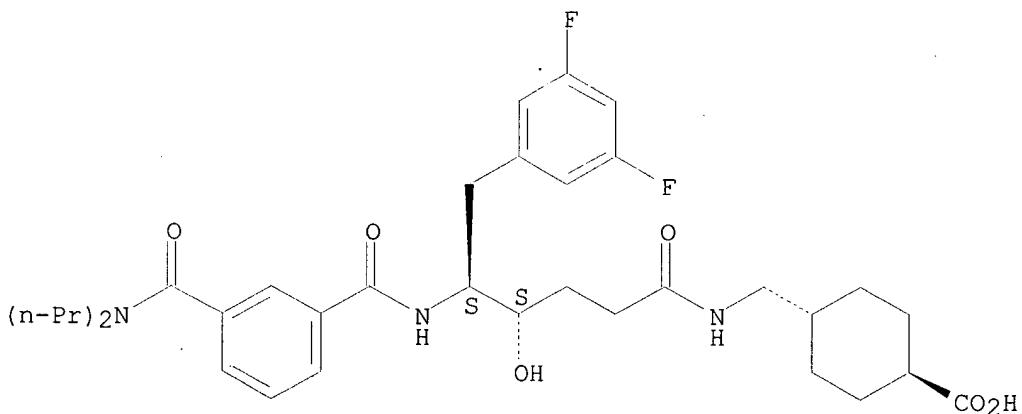
Absolute stereochemistry.



RN 362480-26-0 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

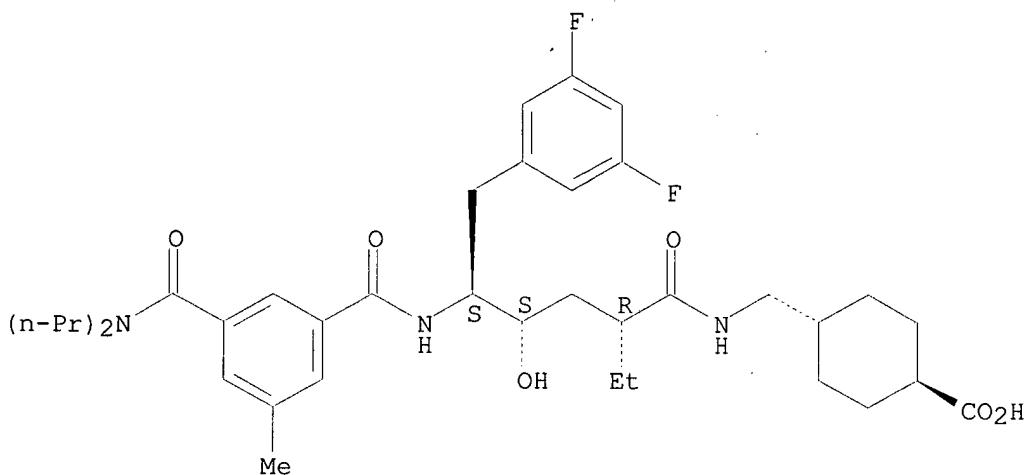
Absolute stereochemistry.



RN 362480-27-1 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

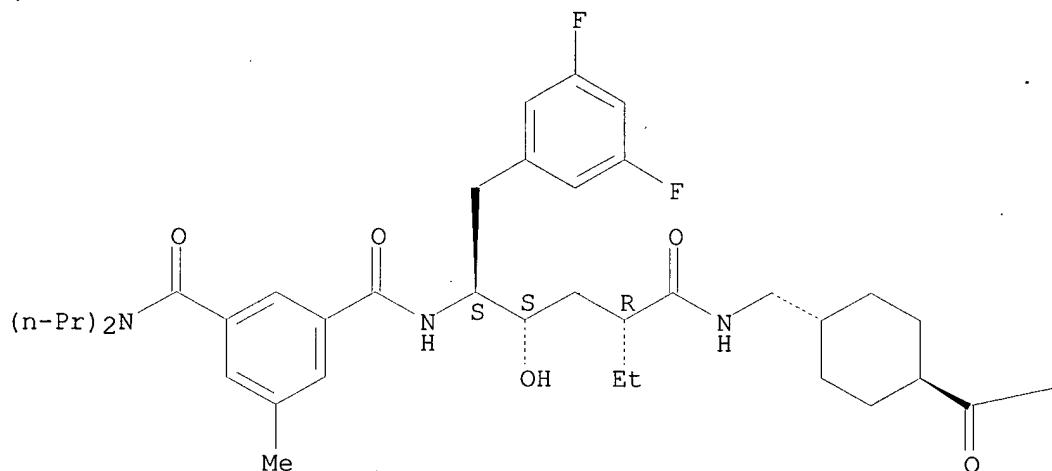


RN 362480-28-2 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



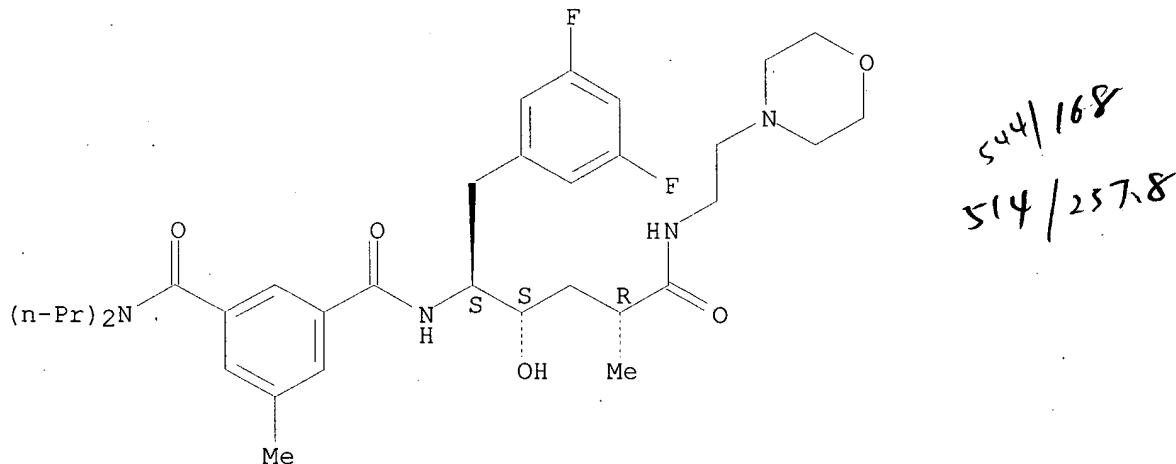
PAGE 1-B

—OMe

RN 362480-29-3 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-(4-morpholinyl)ethyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

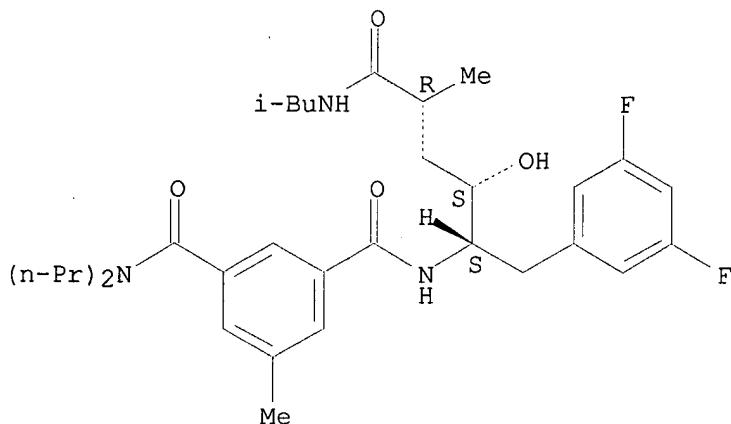
Absolute stereochemistry.



RN 362480-30-6 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

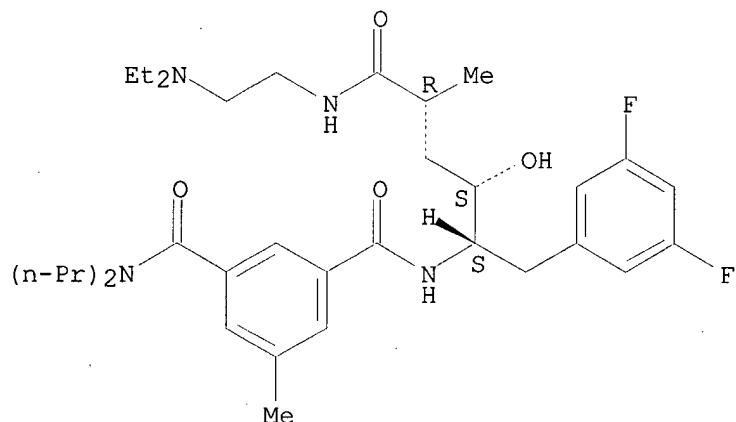
Absolute stereochemistry.



RN 362480-31-7 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[$(1S,2S,4R)$ -5-[$[2\text{-}(diethylamino)ethyl]amino$]-1-[$(3,5\text{-difluorophenyl})methyl$]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

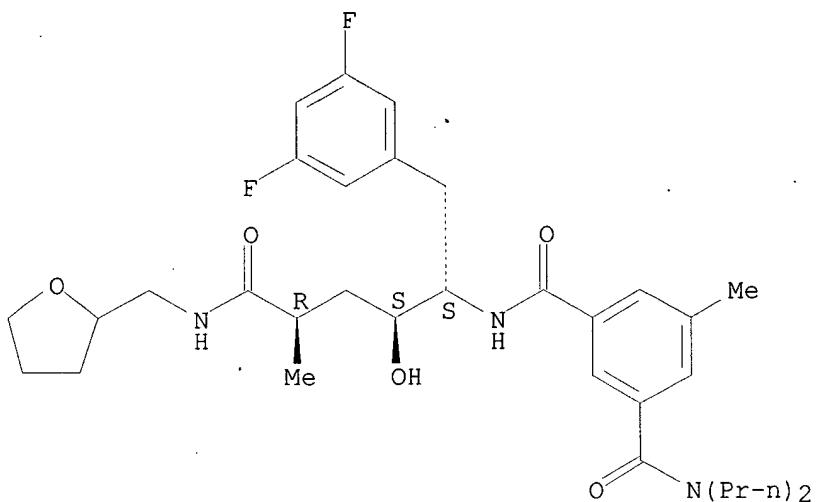
Absolute stereochemistry.



RN 362480-32-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[$(1S,2S,4R)$ -1-[$(3,5\text{-difluorophenyl})methyl$]-2-hydroxy-4-methyl-5-oxo-5-[$[(tetrahydro-2-furanyl)methyl]amino$]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

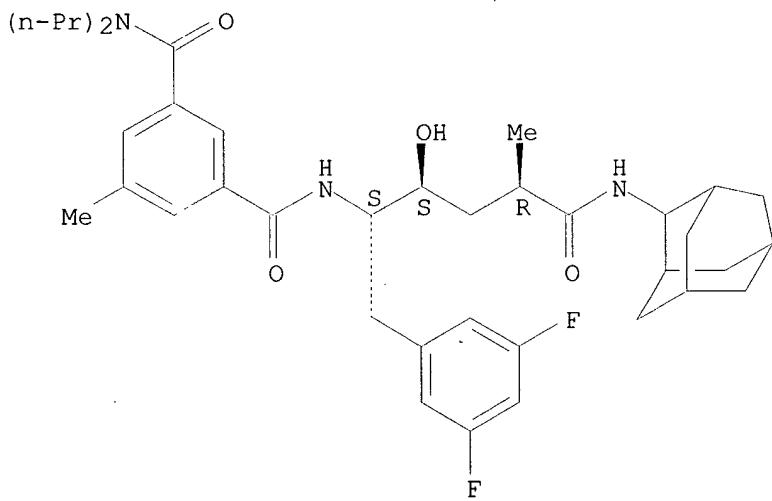
Absolute stereochemistry.



RN 362480-33-9 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.13,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

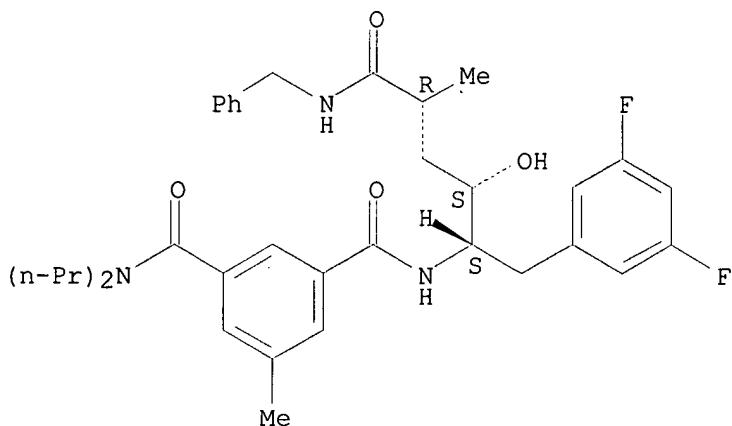
Absolute stereochemistry.



RN 362480-35-1 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

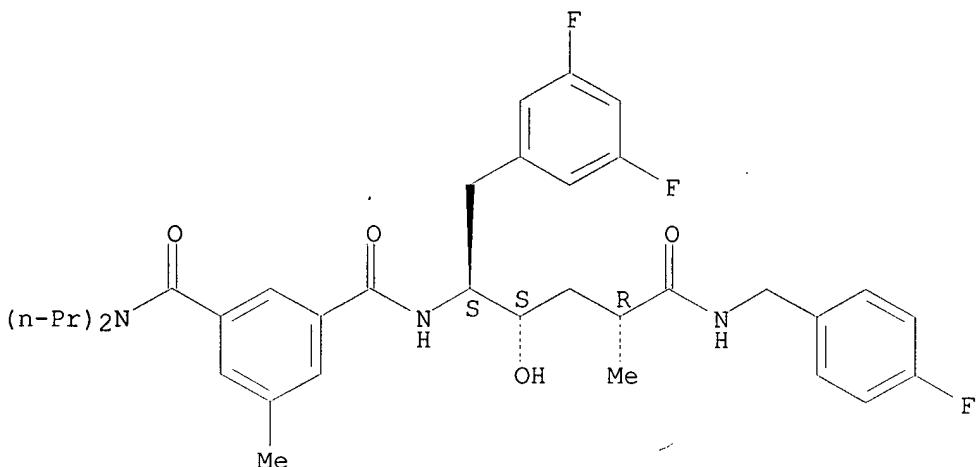
Absolute stereochemistry.



RN 362480-36-2 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

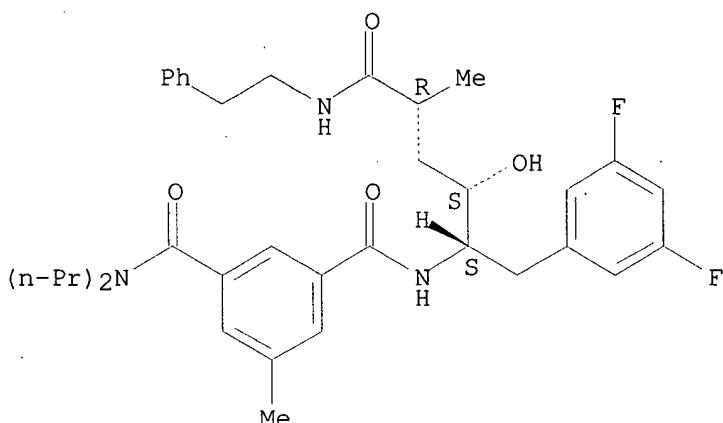
Absolute stereochemistry.



RN 362480-37-3 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

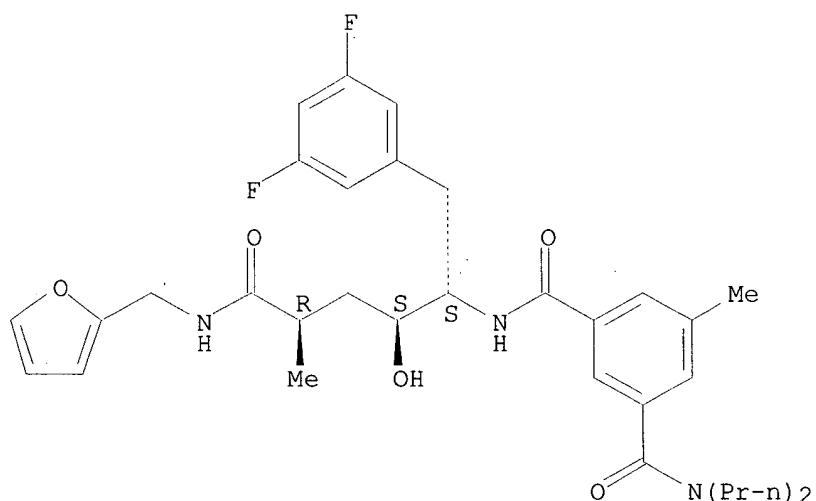
Absolute stereochemistry.



RN 362480-38-4 CAPLUS

CN 1,3-Benzeneddicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanyl methyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

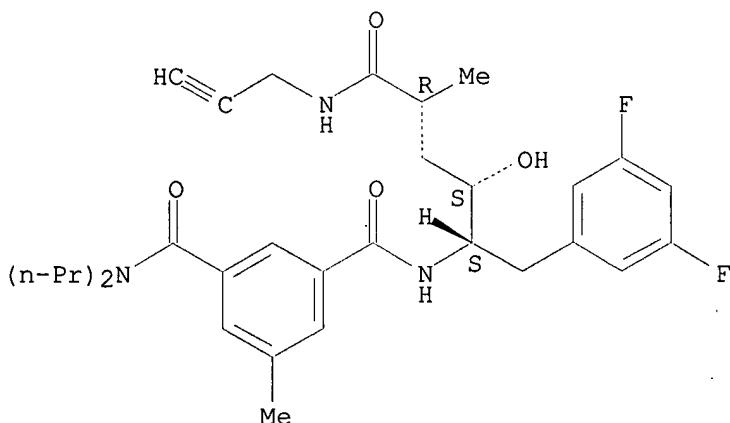
Absolute stereochemistry.



RN 362480-39-5 CAPLUS

CN 1,3-Benzeneddicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

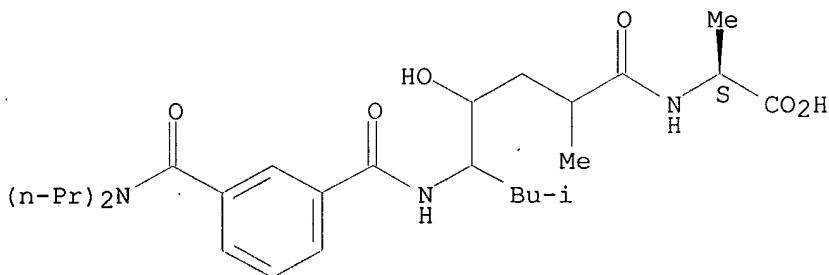
Absolute stereochemistry.



RN 485807-13-4 CAPLUS

CN L-Alanine, N-[5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2,7-dimethyl-1-oxooctyl]- (9CI) (CA INDEX NAME)

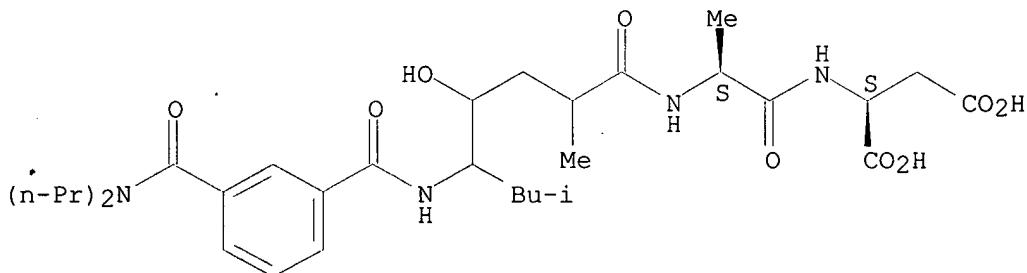
Absolute stereochemistry.



RN 485807-14-5 CAPLUS

CN L-Aspartic acid, N-[5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2,7-dimethyl-1-oxooctyl]-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

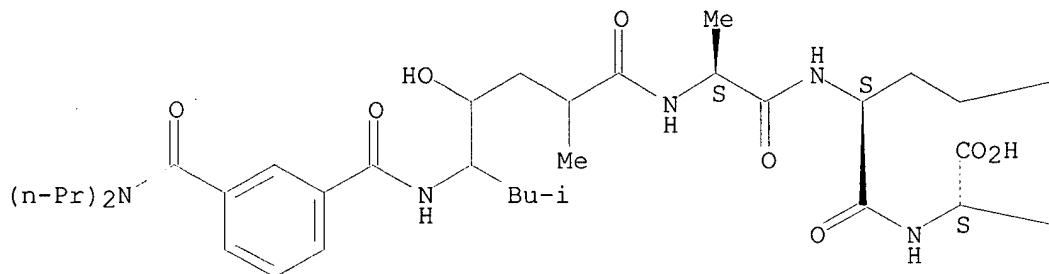


RN 485807-15-6 CAPLUS

CN L-Phenylalanine, N-[5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2,7-dimethyl-1-oxooctyl]-L-alanyl-L.-alpha.-glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—CO₂H

Ph

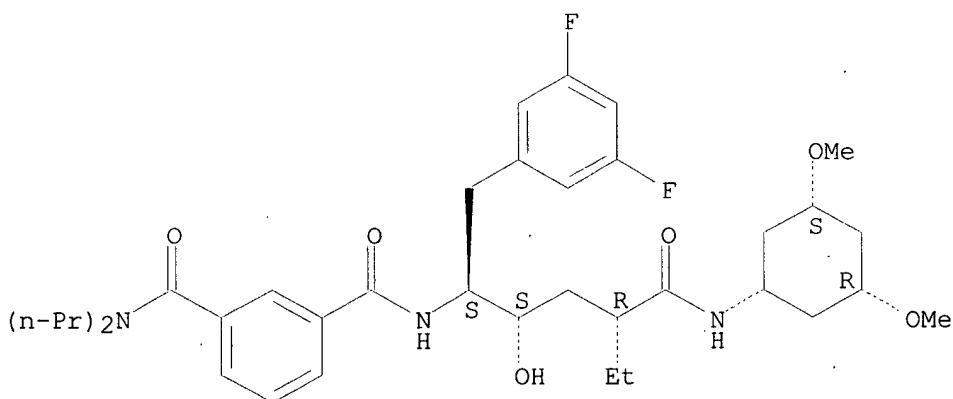
IT 362479-94-5P 362479-95-6P 362479-97-8P
 362479-98-9P 362479-99-0P 362480-00-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

RN 362479-94-5 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[{(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1.alpha.,3.alpha.,5.alpha.)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

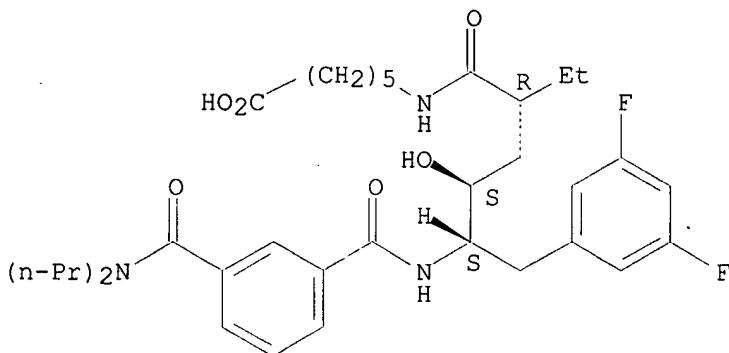
Absolute stereochemistry.



RN 362479-95-6 CAPLUS

CN Hexanoic acid, 6-[[{(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(dipropylamino)carbonyl]benzoyl}amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

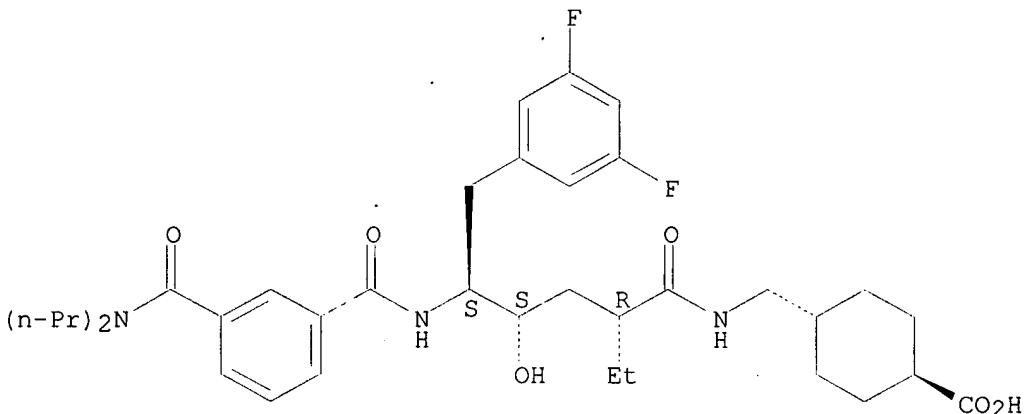
Absolute stereochemistry.



RN 362479-97-8 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

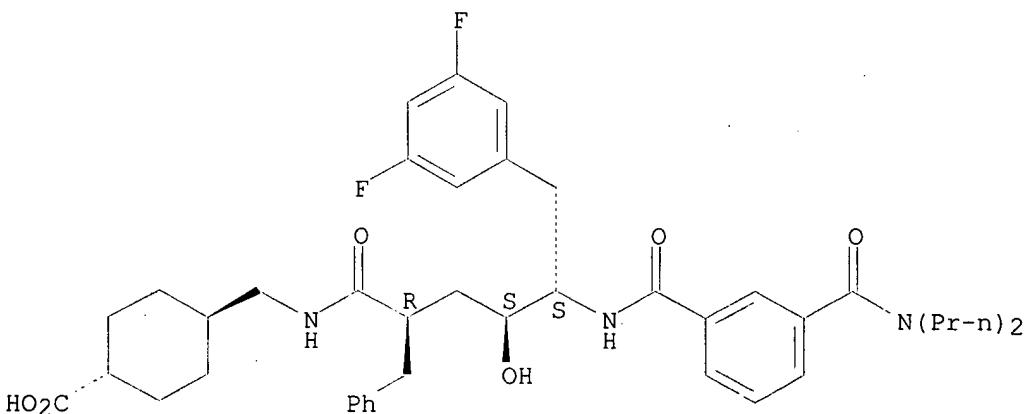
Absolute stereochemistry.



RN 362479-98-9 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

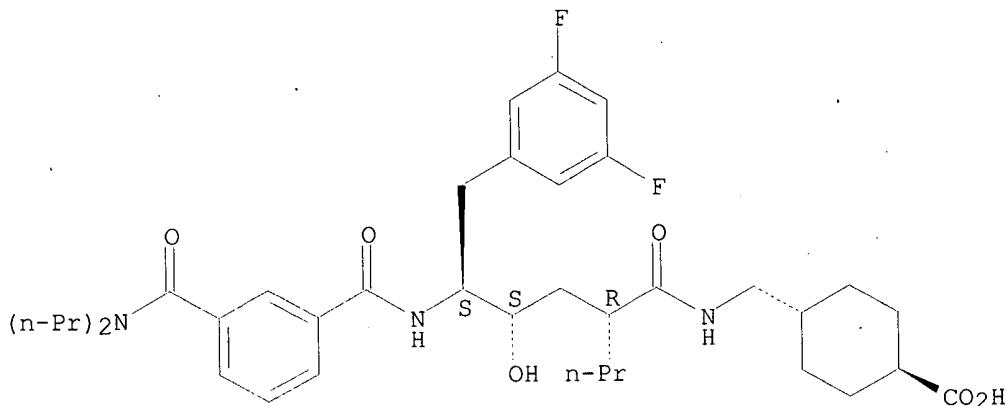
Absolute stereochemistry.



RN 362479-99-0 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

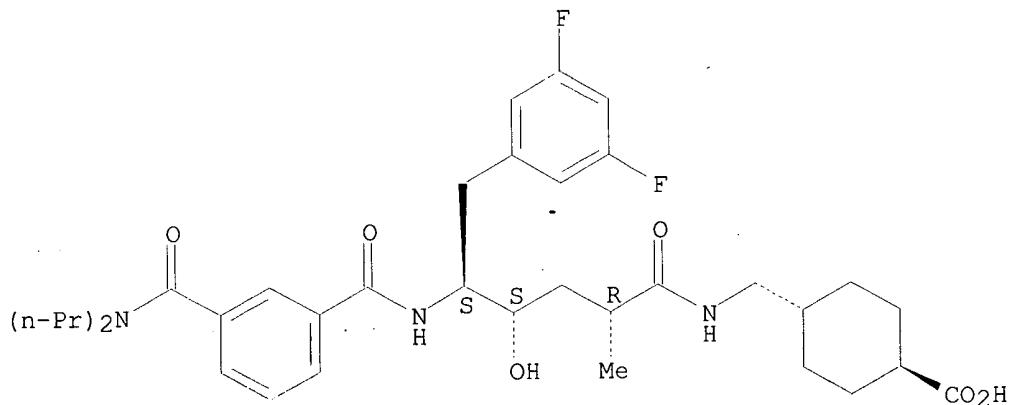
Absolute stereochemistry.



RN 362480-00-0 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:434529 CAPLUS

DOCUMENT NUMBER: 139:22107

TITLE: Preparation of benzamide derivatives as inhibitors of Asp-2

INVENTOR(S): Faller, Andrew; MacPherson, David Timothy; Milner, Peter Henry; Stanway, Steven James; Trouw, Leontine Saskia

PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

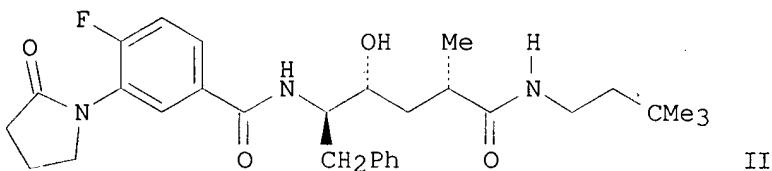
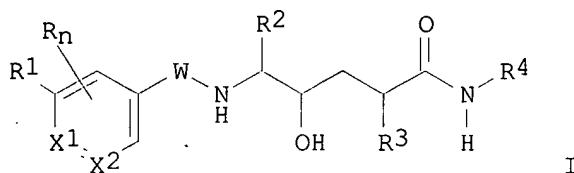
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045913	A1	20030605	WO 2002-EP13515	20021129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2001-28748	A 20011130
			GB 2002-14090	A 20020618
OTHER SOURCE(S):	MARPAT 139:22107			
GI				



AB Benzamides I [X1, X2 = N, (un)substituted CH; W = CO, SO₂; R = halogen; R₁ = 2-oxo-substituted N heterocyclic; R₂ = alkyl, aralkyl, heterocyclalkyl, cycloalkylalkyl, arylthioalkyl, aryloxyalkyl, heterocyclthioalkyl, heterocyclxyalkyl; R₃ = (un)substituted alkyl, propargyl; R₄ = (un)substituted alkyl; n = 0-2], having Asp-2 (.beta.-secretase, BACE1 or Memapsin) inhibitory activity, useful in the treatment of diseases characterized by elevated .beta.-amyloid levels or .beta.-amyloid deposits, particularly Alzheimer's disease, were prep'd. Thus, tert.-Bu [(S)-1-(4-methyl-5-oxotetrahydrofuran-2-yl)-2-phenylethyl]carbamate was treated with Me₃CCH₂CH₂NH₂ and deblocked to give (2R,4S,5S)-H₂NCH(CH₂Ph)CH(OH)CH₂CHMeCH₂CH₂CMe₃ which was acylated with 3,4-Br(F)C₆H₃CO₂H and treated with 2-pyrrolidinone to give the benzamide II. II had an IC₅₀ for inhibition of Asp-2 of 600 nM.

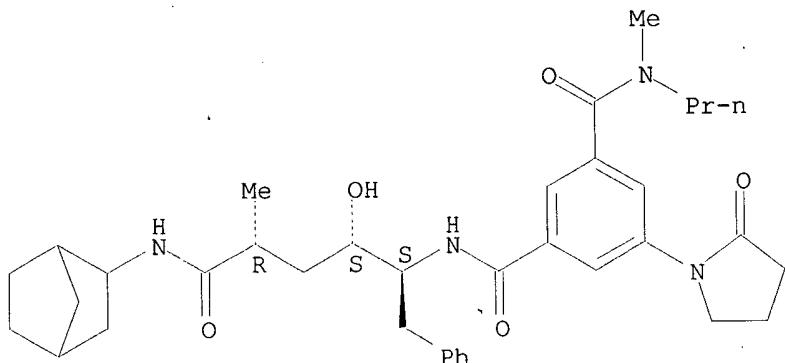
IT 539821-12-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzamide derivs. as inhibitors of Asp-2)

RN 539821-12-0 CAPLUS
 CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-5-(bicyclo[2.2.1]hept-2-ylamino)-
 2-hydroxy-4-methyl-5-oxo-1-(phenylmethyl)pentyl]-N-methyl-5-(2-oxo-1-
 pyrrolidinyl)-N-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LX8~~ ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:713293 CAPLUS
 DOCUMENT NUMBER: 135:273220
 TITLE: Preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease
 INVENTOR(S): Hom, Roy; Mamo, Shumeye; Tung, Jay; Gailunas, Andrea; John, Varghese; Fang, Larry
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 240 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070672	A2	20010927	WO 2001-US9501	20010323
WO 2001070672	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1265849	A2	20021218	EP 2001-926424	20010323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-191528P	P 20000323
			WO 2001-US9501	W 20010323

OTHER SOURCE(S): MARPAT 135:273220
 AB Hydroxyethylenes, such as RNHCHR1CH(OH)CH2CHR2COBR3 [R = peptidyl group, acyl, etc.; R1 = alkyl, alkenyl, arylalkyl, etc.; R2 = H, alkyl, cycloalkyl, arylalkyl, etc.; BR3 = peptidyl group; B = O, NR4; R3 = alkyl,

arylalkyl, etc.; R4 = H, alkyl, etc.], were prep'd. as agents for the treatment of Alzheimer's disease. Thus, BOC-L-Val-L-Met-NH-(S,S,S)-CH(CH₂CHMe₂)CH(OH)CH(CHMe₂)CO-L-Ala-L-Glu-L-Phe-OH via a series of amide coupling reactions of the corresponding amino acids with the hydroxyethylene moiety. The prep'd. hydroxyethylenes were tested for .beta.-secretase inhibiting activity.

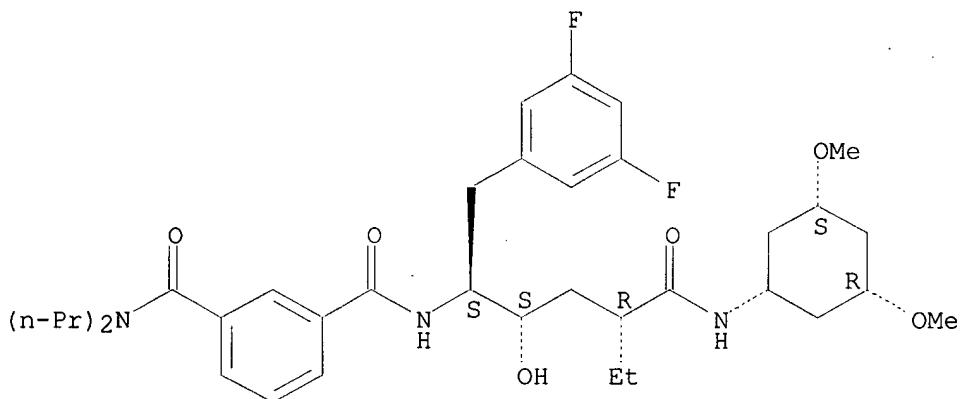
IT 362479-94-5P 362479-95-6P 362479-96-7P
 362479-97-8P 362479-98-9P 362479-99-0P
 362480-00-0P 362480-11-3P 362480-12-4P
 362480-13-5P 362480-14-6P 362480-15-7P
 362480-16-8P 362480-17-9P 362480-18-0P
 362480-20-4P 362480-21-5P 362480-22-6P
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 362480-32-8P 362480-33-9P 362480-35-1P
 362480-36-2P 362480-37-3P 362480-38-4P
 362480-39-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

RN 362479-94-5 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1.alpha.,3.alpha.,5.alpha.)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

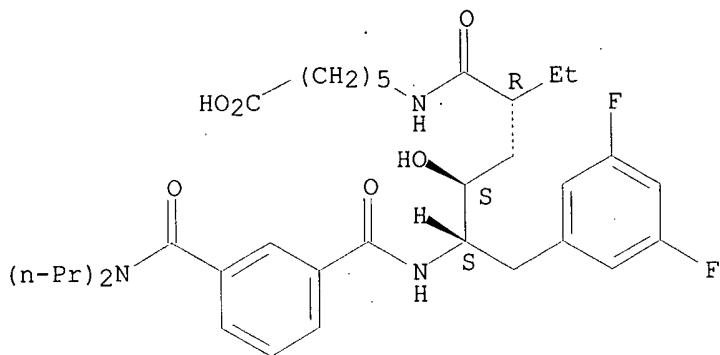
Absolute stereochemistry.



RN 362479-95-6 CAPLUS

CN Hexanoic acid, 6-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

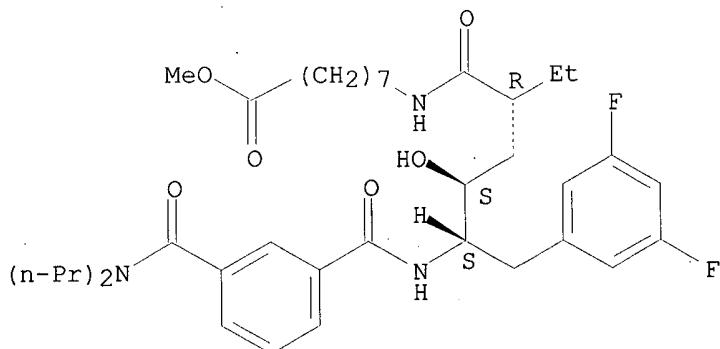
Absolute stereochemistry.



RN 362479-96-7 CAPLUS

CN Octanoic acid, 8-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

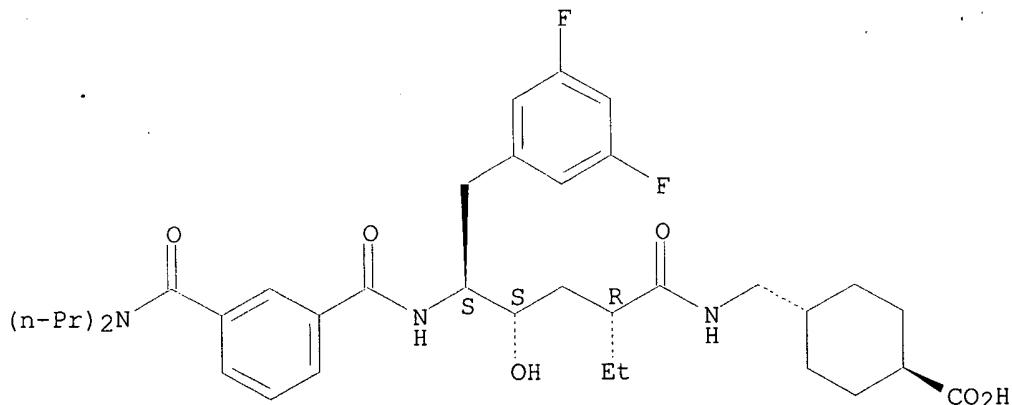
Absolute stereochemistry.



RN 362479-97-8 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

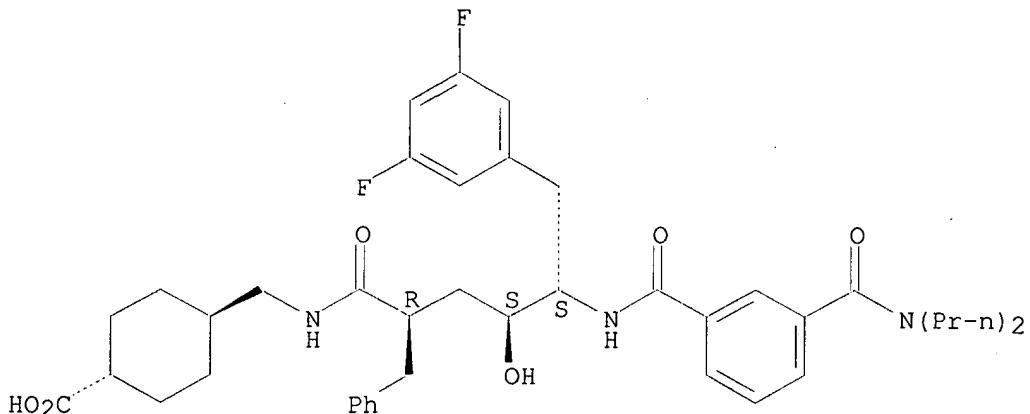
Absolute stereochemistry.



RN 362479-98-9 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

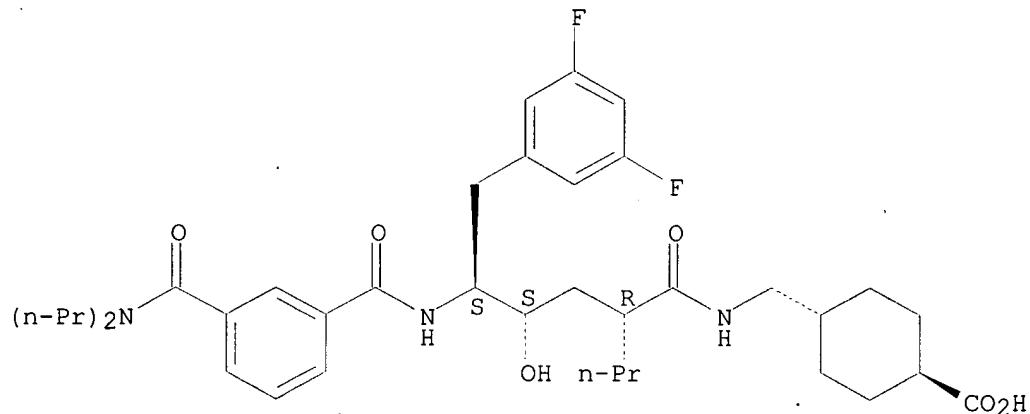
Absolute stereochemistry.



RN 362479-99-0 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

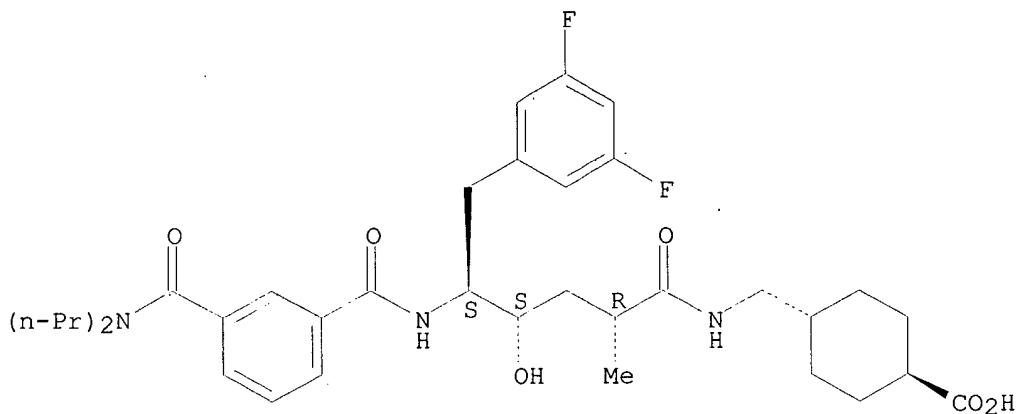
Absolute stereochemistry.



RN 362480-00-0 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

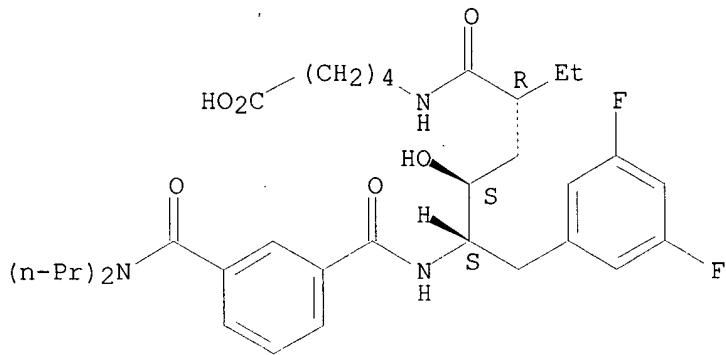
Absolute stereochemistry.



RN 362480-11-3 CAPLUS

CN Pentanoic acid, 5-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

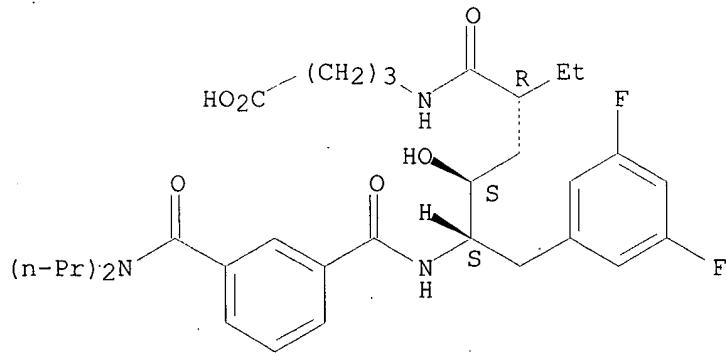
Absolute stereochemistry.



RN 362480-12-4 CAPLUS

CN Butanoic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

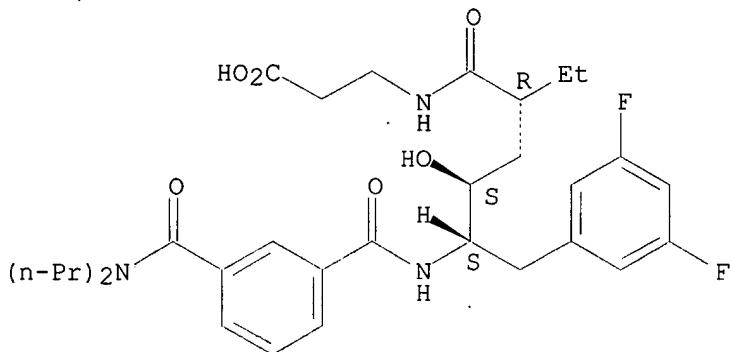
Absolute stereochemistry.



RN 362480-13-5 CAPLUS

CN .beta.-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]- (9CI) (CA INDEX NAME)

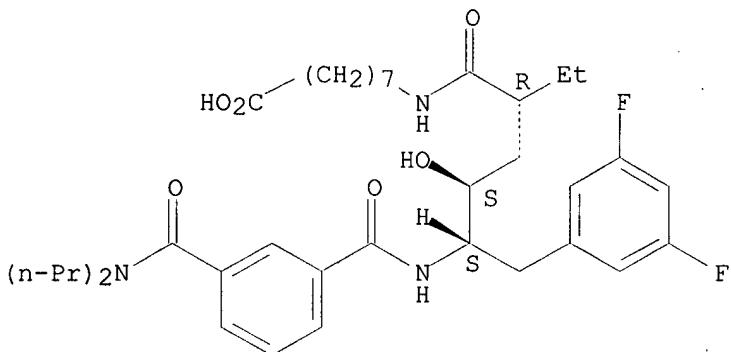
Absolute stereochemistry.



RN 362480-14-6 CAPLUS

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

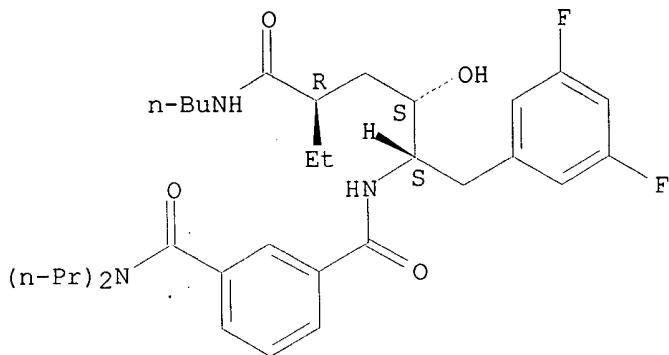
Absolute stereochemistry.



RN 362480-15-7 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

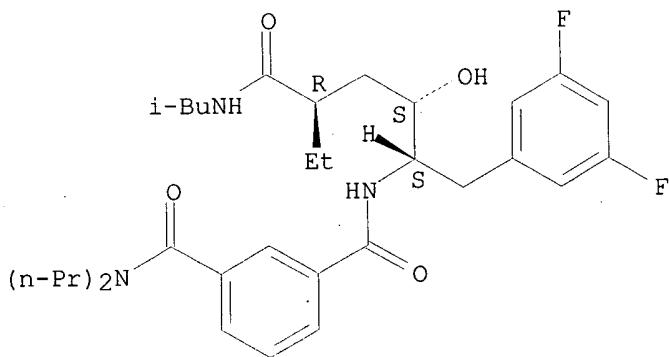
Absolute stereochemistry.



RN 362480-16-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(2-methylpropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

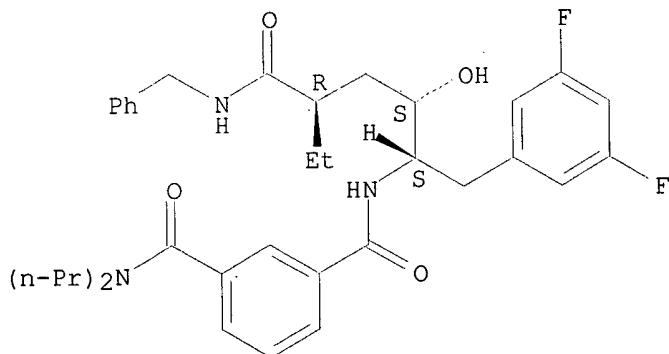
Absolute stereochemistry.



RN 362480-17-9 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(phenylmethyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

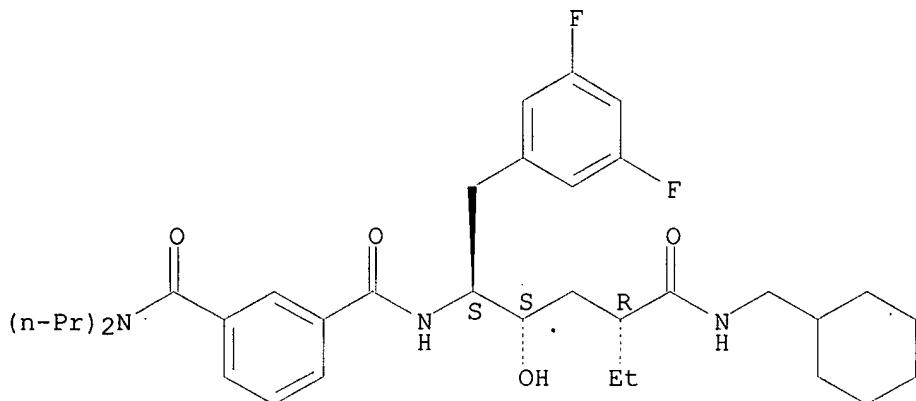


RN 362480-18-0 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[[(cyclohexylmethyl)amino]carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)

(CA INDEX NAME)

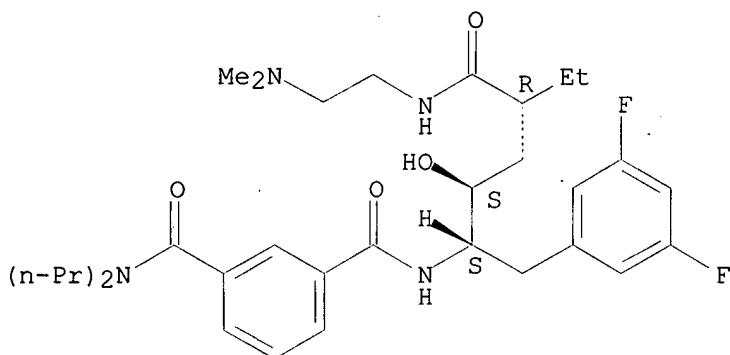
Absolute stereochemistry.



RN 362480-20-4 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

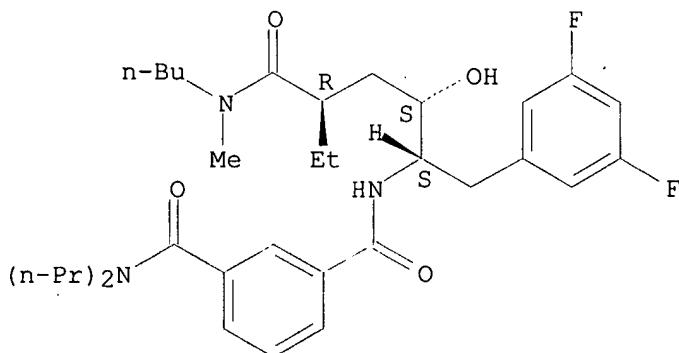
Absolute stereochemistry.



RN 362480-21-5 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

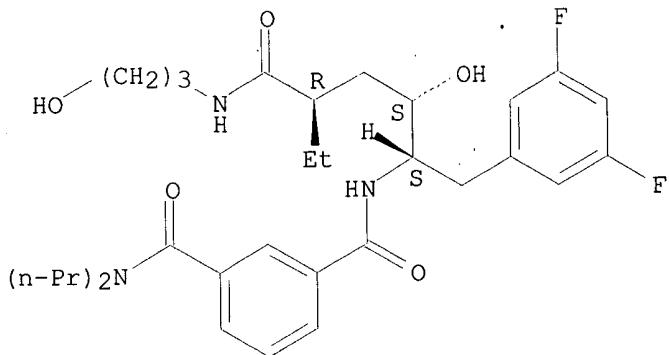
Absolute stereochemistry.



RN 362480-22-6 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(3-hydroxypropyl)amino]carbonylhexyl-N,N-dipropyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

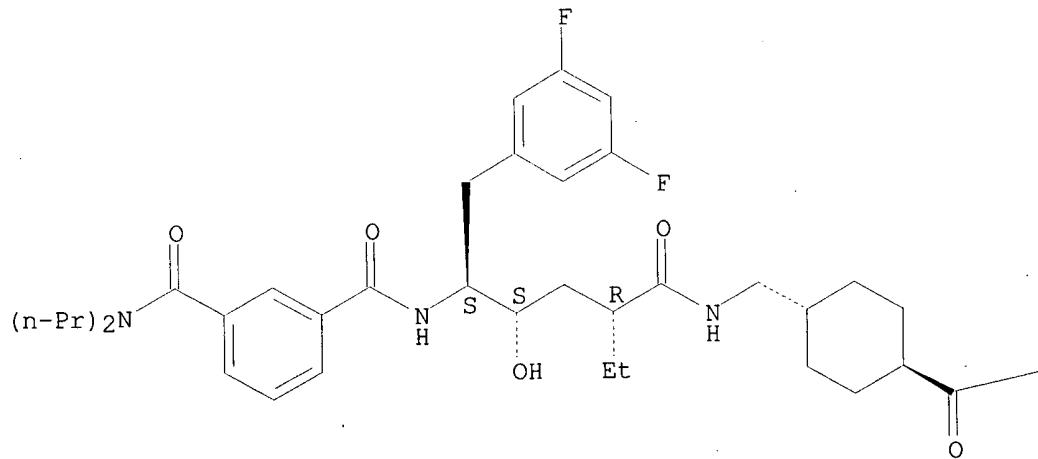


RN 362480-23-7 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



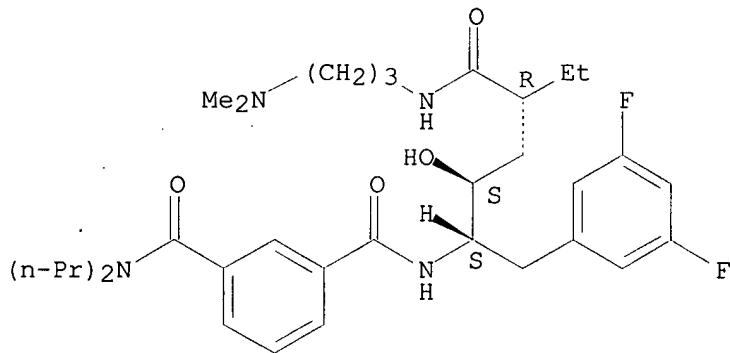
PAGE 1-B

—OMe

RN 362480-24-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[{(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl-
(9CI) (CA INDEX NAME)

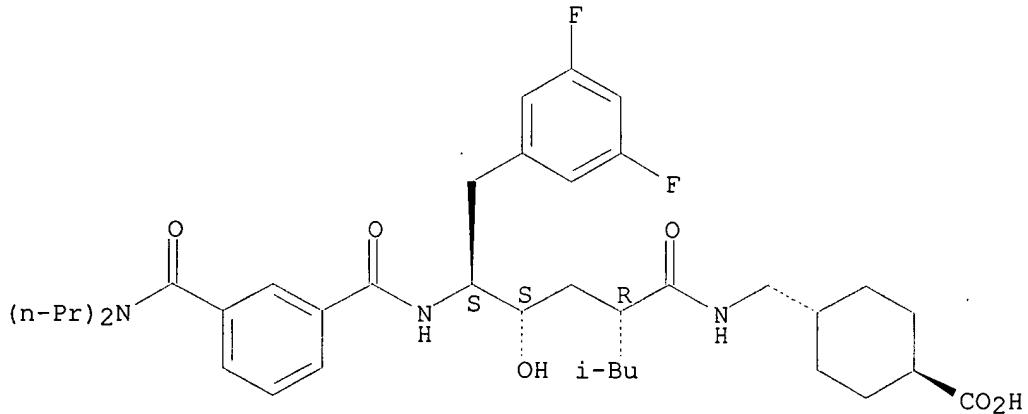
Absolute stereochemistry.



RN 362480-25-9 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-(2-methylpropyl)-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

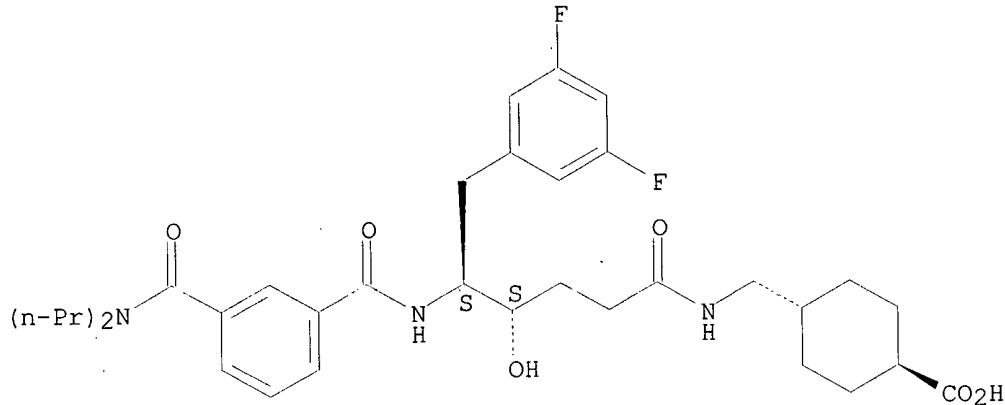
Absolute stereochemistry.



RN 362480-26-0 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

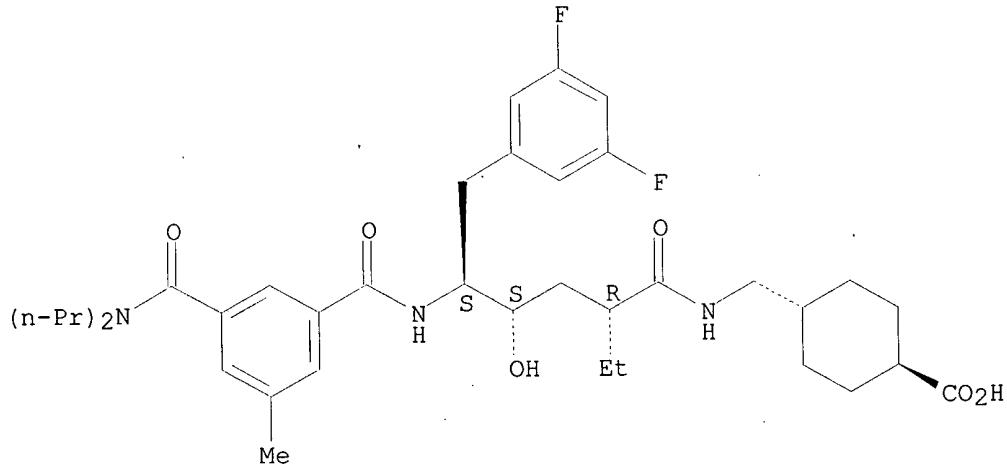
Absolute stereochemistry.



RN 362480-27-1 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

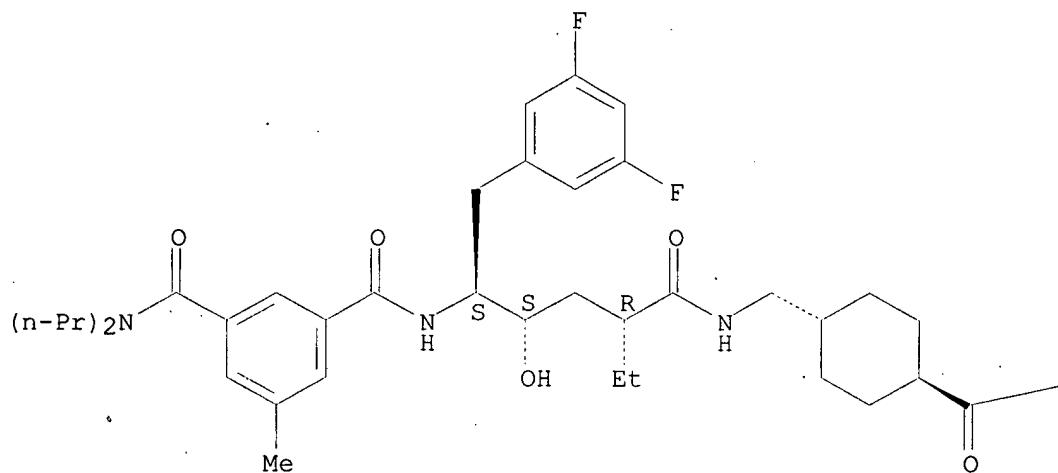


RN 362480-28-2 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



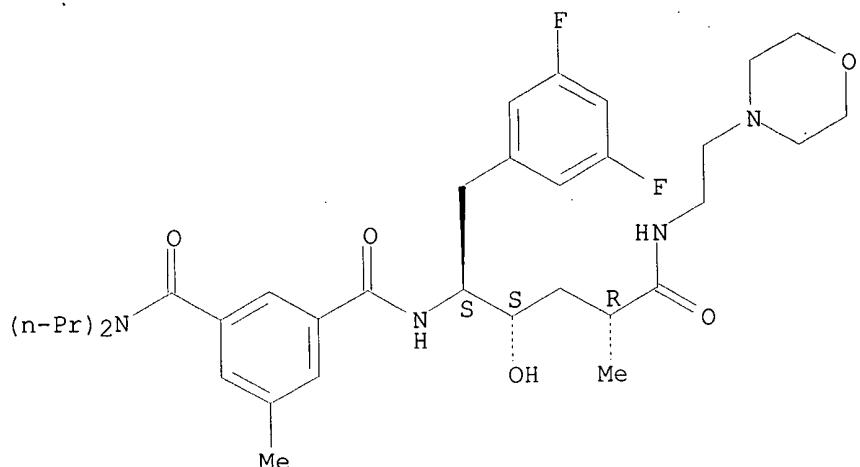
PAGE 1-B

—OMe

RN 362480-29-3 CAPLUS

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[[2-(4-morpholinyl)ethyl]amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

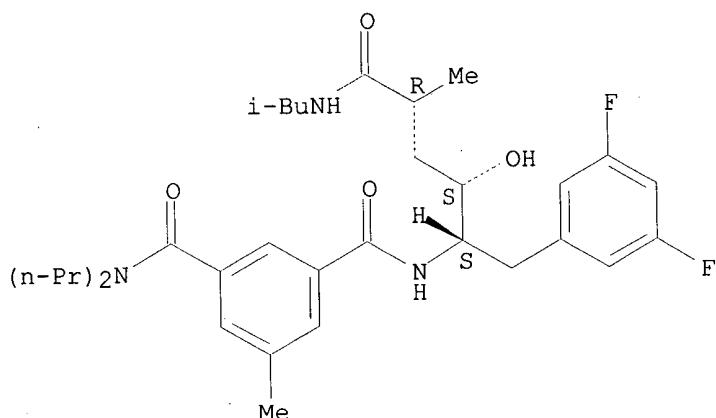
Absolute stereochemistry.



RN 362480-30-6 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

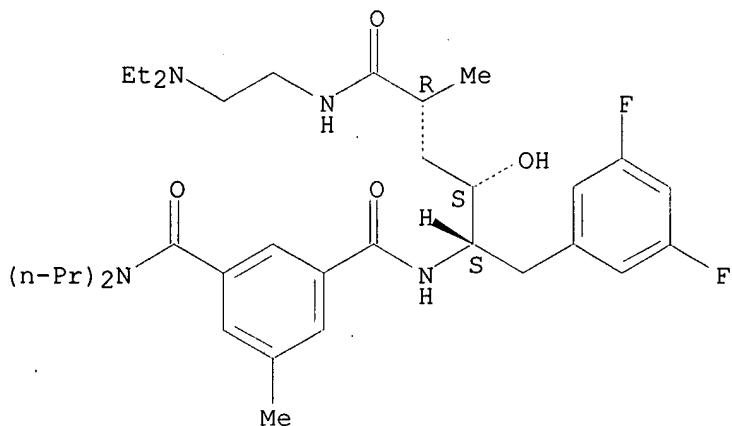
Absolute stereochemistry.



RN 362480-31-7 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-5-[[2-(diethylamino)ethyl]amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

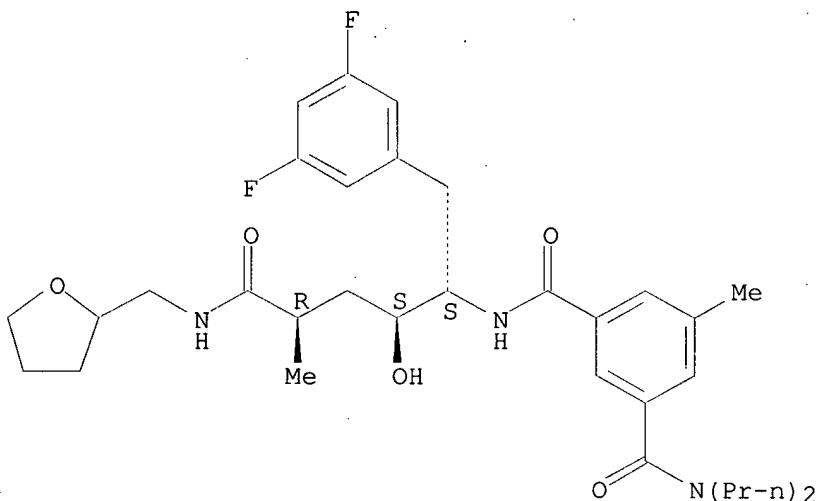
Absolute stereochemistry.



RN 362480-32-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

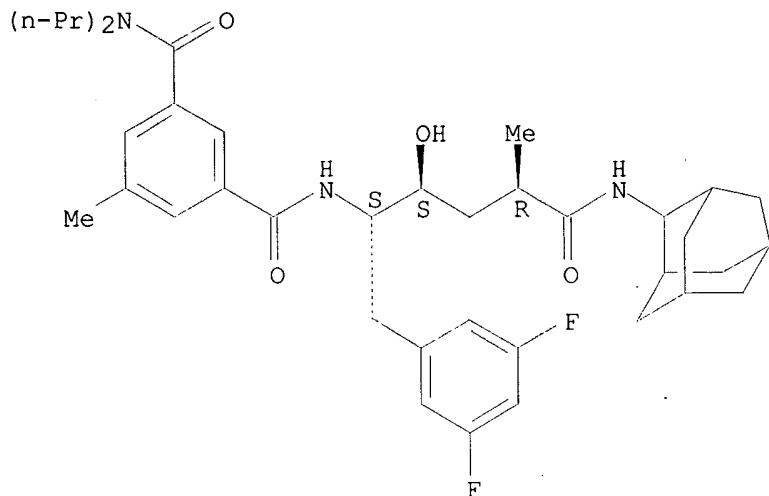
Absolute stereochemistry.



RN 362480-33-9 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.13,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

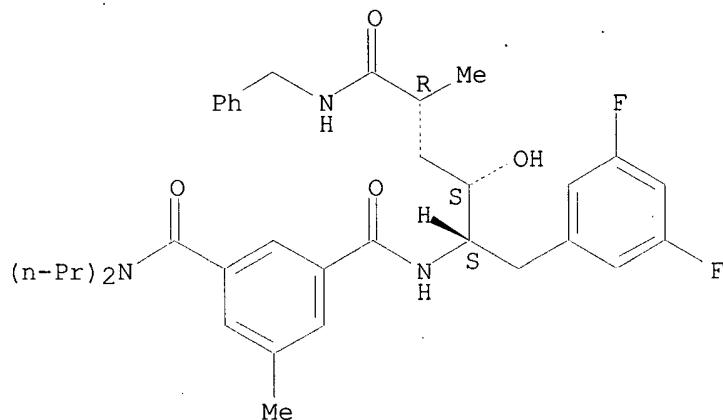
Absolute stereochemistry.



RN 362480-35-1 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

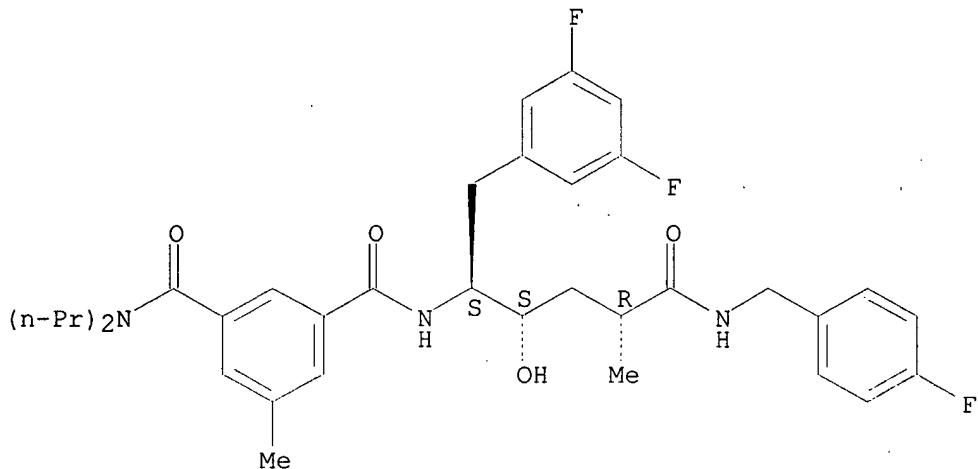
Absolute stereochemistry.



RN 362480-36-2 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

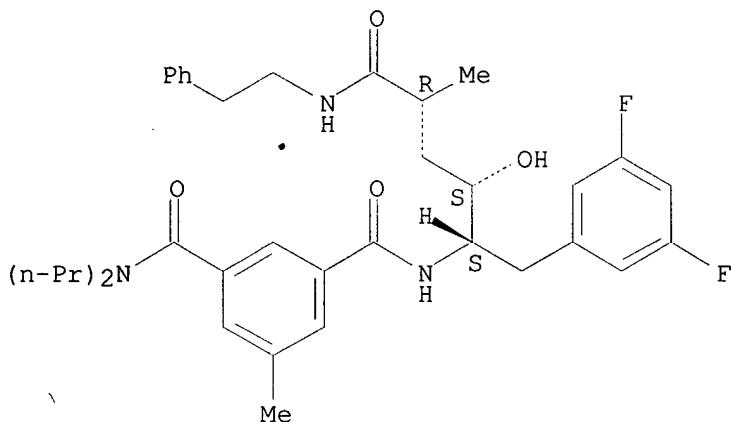
Absolute stereochemistry.



RN 362480-37-3 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

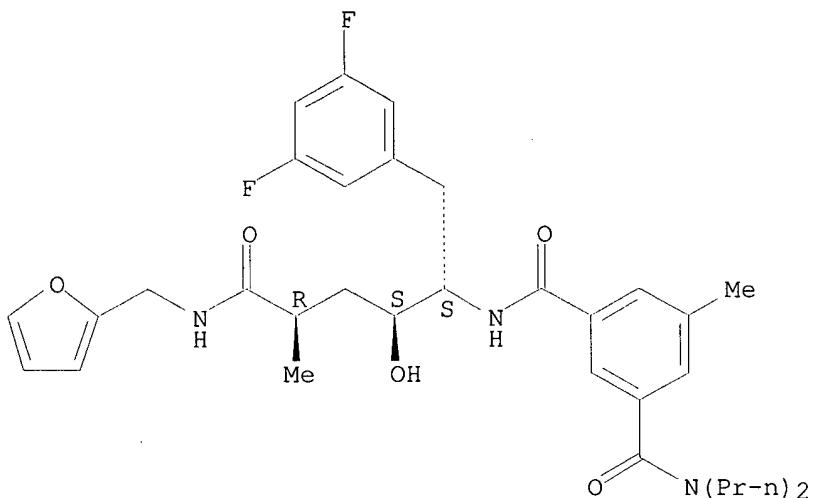
Absolute stereochemistry.



RN 362480-38-4 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

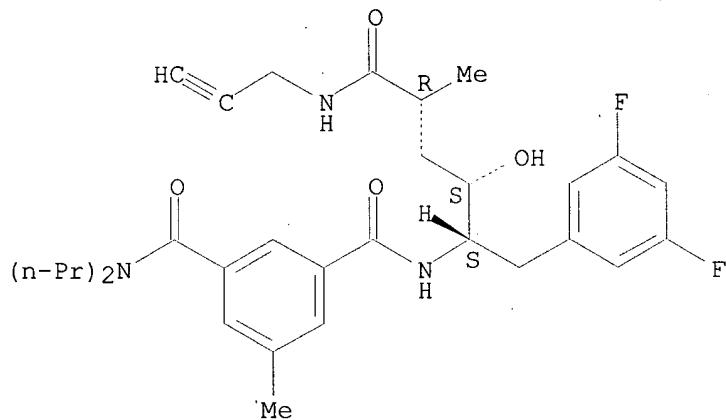
Absolute stereochemistry.



RN 362480-39-5 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 4 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2002:37903 USPATFULL

TITLE: Compounds to treat alzheimer's disease

INVENTOR(S): Hom, Roy, San Francisco, CA, UNITED STATES

Mamo, Shumeye, Oakland, CA, UNITED STATES

Tung, Jay, Belmont, CA, UNITED STATES

Gailunas, Andrea, San Francisco, CA, UNITED STATES

John, Varghese, San Francisco, CA, UNITED STATES

Fang, Lawrence Y., Foster City, CA, UNITED STATES

NUMBER	KIND	DATE
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PATENT INFORMATION: US 2002022623 A1 20020221

APPLICATION INFO.: US 2001-815960 A1 20010323 (9)

NUMBER	DATE
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PRIORITY INFORMATION: US 2000-191528P 20000323 (60)
 DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: MERCHANT & GOULD P.C., P.O. Box 2903, Minneapolis, MN,
 55402-0903.

NUMBER OF CLAIMS: 141
 EXEMPLARY CLAIM: 1
 LINE COUNT: 7182

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed toward substituted hydroxyethylene compounds of formula (XII) ##STR1##

useful in treating Alzheimer's disease and other similar diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

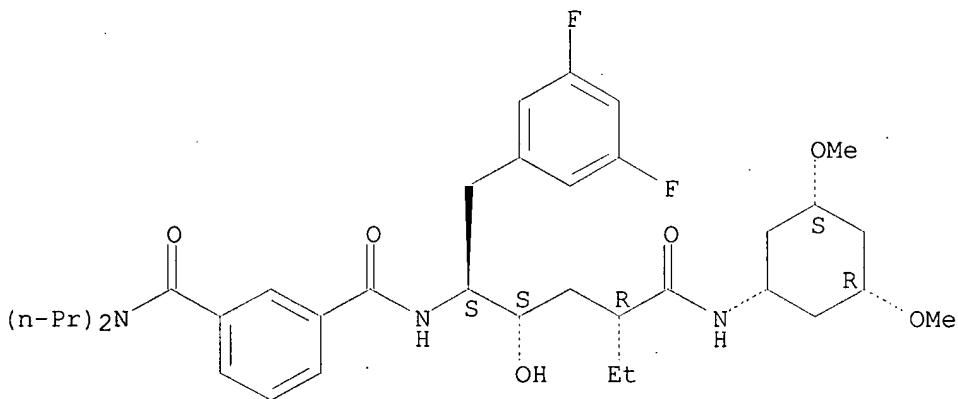
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 362480-32-8P 362480-33-9P 362480-35-1P
 362480-36-2P 362480-37-3P 362480-38-4P
 362480-39-5P

(prepn. of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

RN 362479-94-5 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1.alpha.,3.alpha.,5.alpha.)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

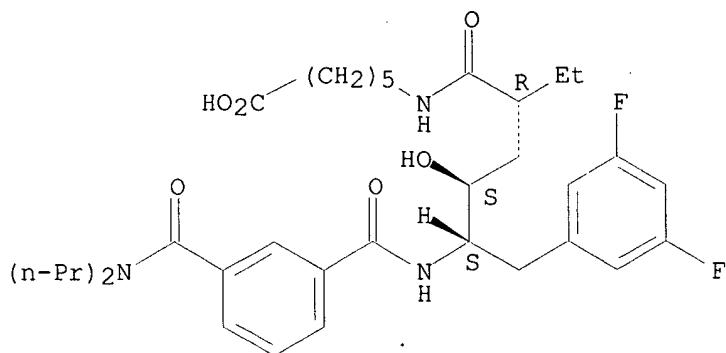
Absolute stereochemistry.



RN 362479-95-6 USPATFULL

CN Hexanoic acid, 6-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

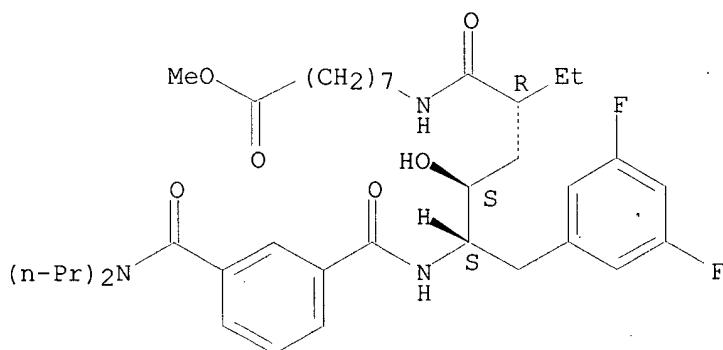
Absolute stereochemistry.



RN 362479-96-7 USPATFULL

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

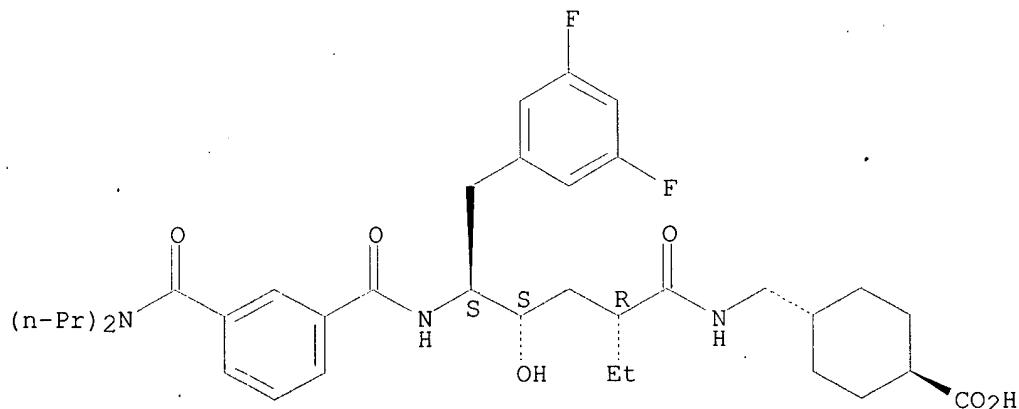
Absolute stereochemistry.



RN 362479-97-8 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

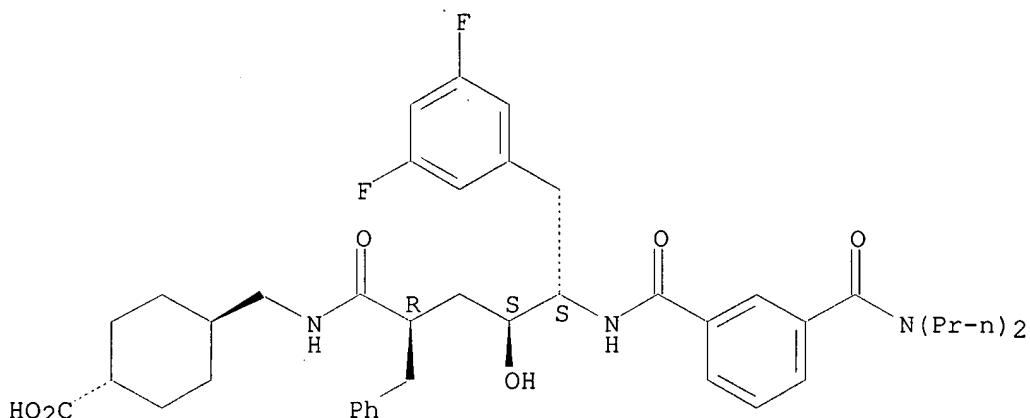
Absolute stereochemistry.



RN 362479-98-9 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

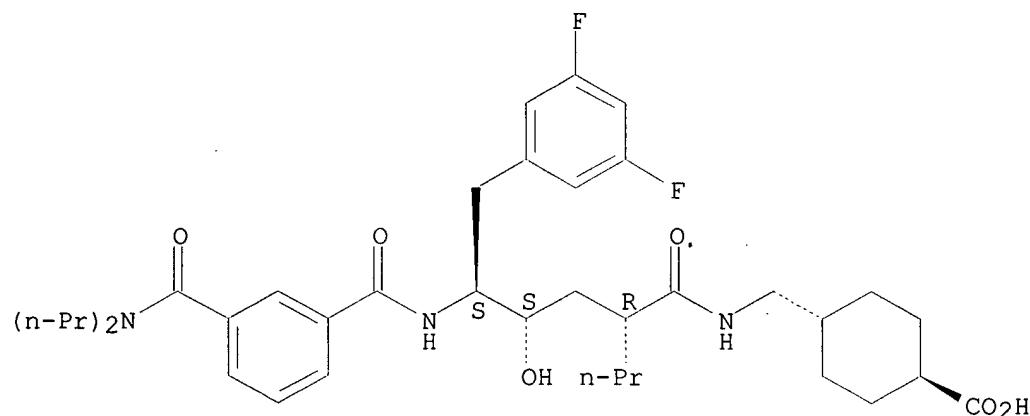
Absolute stereochemistry.



RN 362479-99-0 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

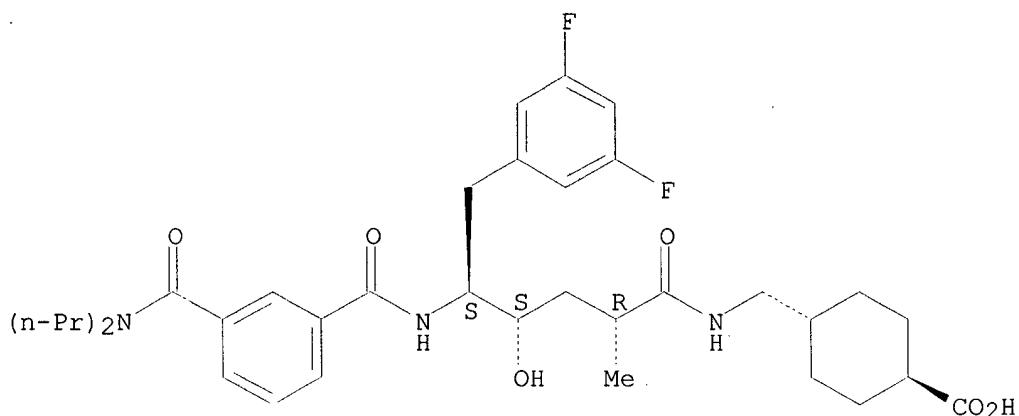
Absolute stereochemistry.



RN 362480-00-0 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

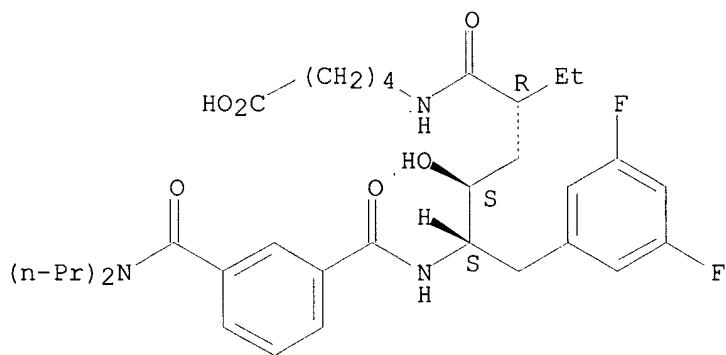
Absolute stereochemistry.



RN 362480-11-3 USPATFULL

CN Pentanoic acid, 5-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

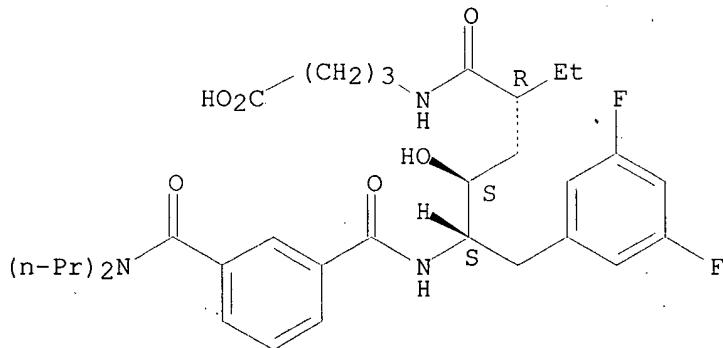
Absolute stereochemistry.



RN 362480-12-4 USPATFULL

CN Butanoic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

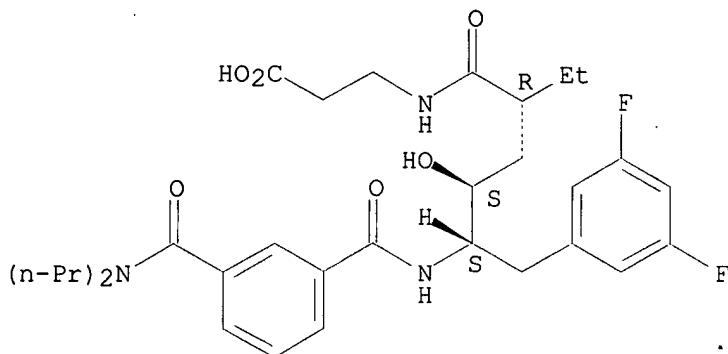
Absolute stereochemistry.



RN 362480-13-5 USPATFULL

CN .beta.-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]-
(9CI) (CA INDEX NAME)

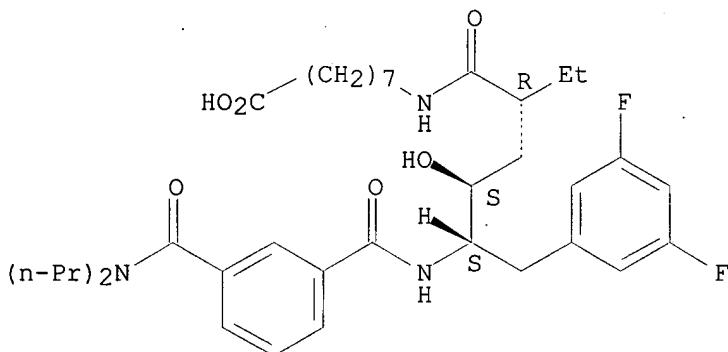
Absolute stereochemistry.



RN 362480-14-6 USPATFULL

CN Octanoic acid, 8-[[[2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-
oxohexyl]amino]- (9CI) (CA INDEX NAME)

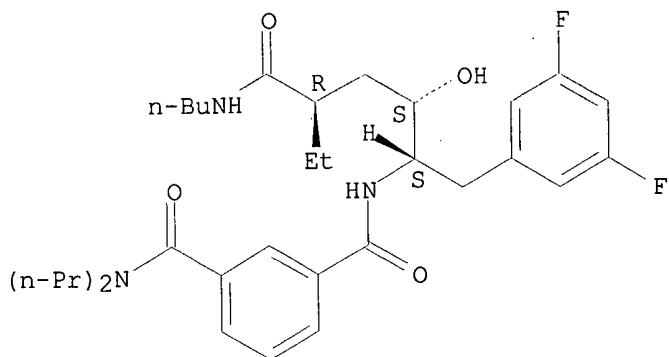
Absolute stereochemistry.



RN 362480-15-7 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[$(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-$
difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX
NAME)

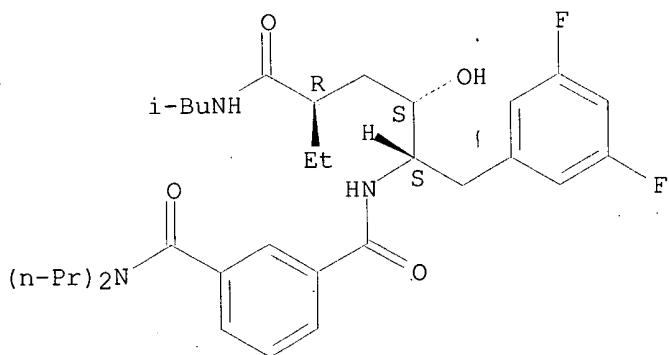
Absolute stereochemistry.



RN 362480-16-8 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[((2-methylpropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI)
(CA INDEX NAME)

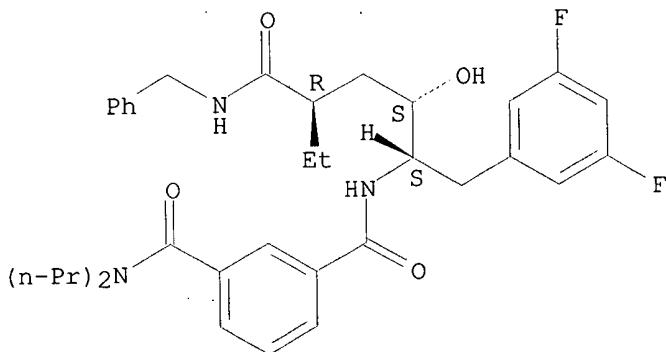
Absolute stereochemistry.



RN 362480-17-9 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[((phenylmethyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

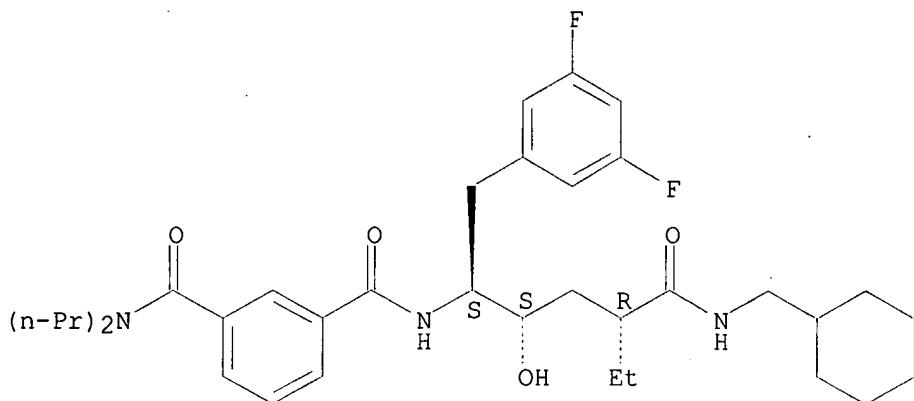


RN 362480-18-0 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[((cyclohexylmethyl)amino]carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)

(CA INDEX NAME)

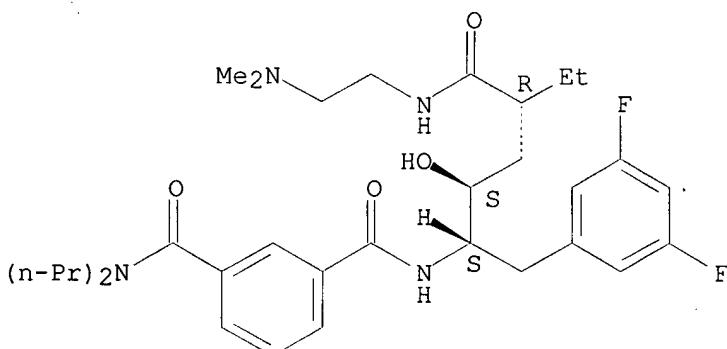
Absolute stereochemistry.



RN 362480-20-4 USPATFULL

CN 1,3-Benzeneddicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

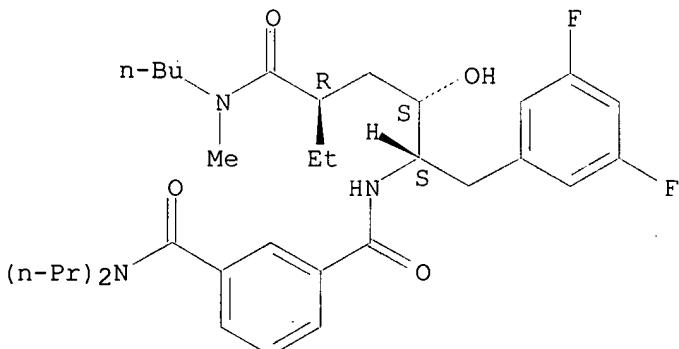
Absolute stereochemistry.



RN 362480-21-5 USPATFULL

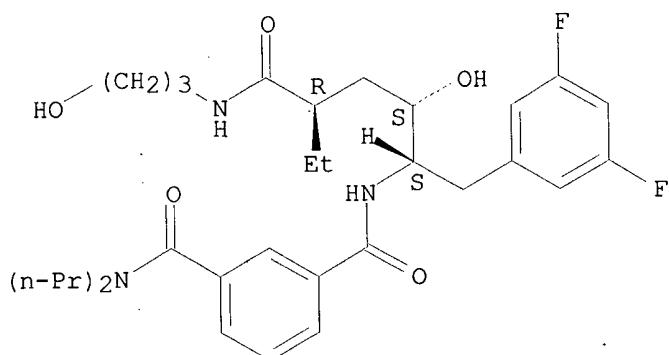
CN 1,3-Benzeneddicarboxamide, N'-[(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-22-6 USPATFULL
CN 1,3-Benzeneddicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(3-hydroxypropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI)
(CA INDEX NAME)

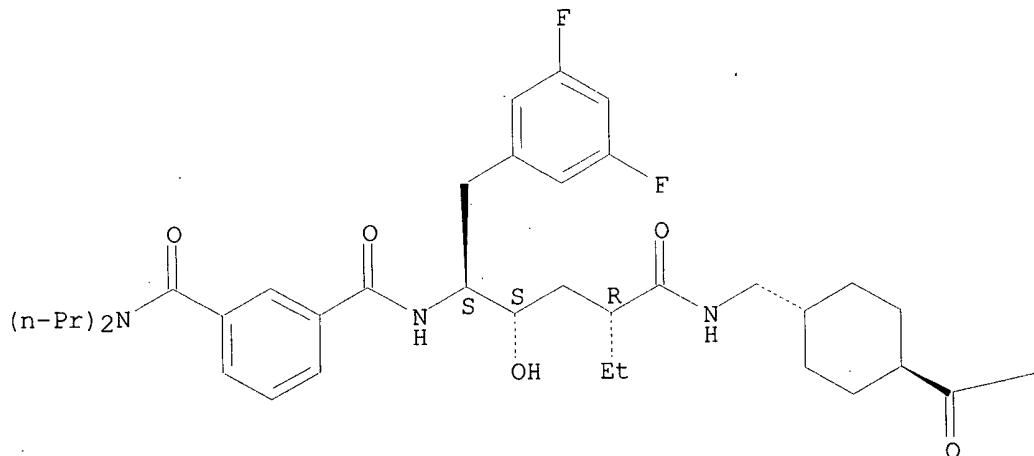
Absolute stereochemistry.



RN 362480-23-7 USPATFULL
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



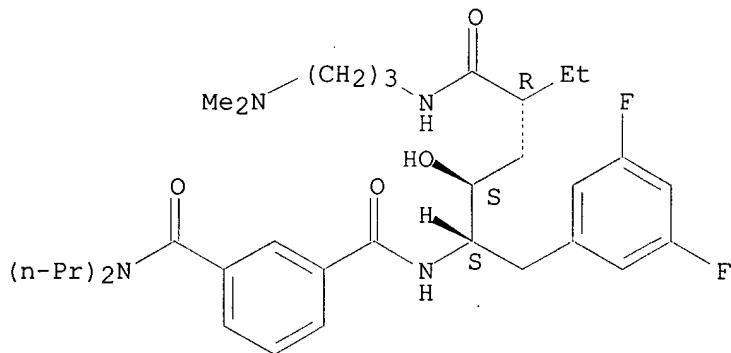
PAGE 1-B

—OMe

RN 362480-24-8 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[{(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl-
(9CI) (CA INDEX NAME)

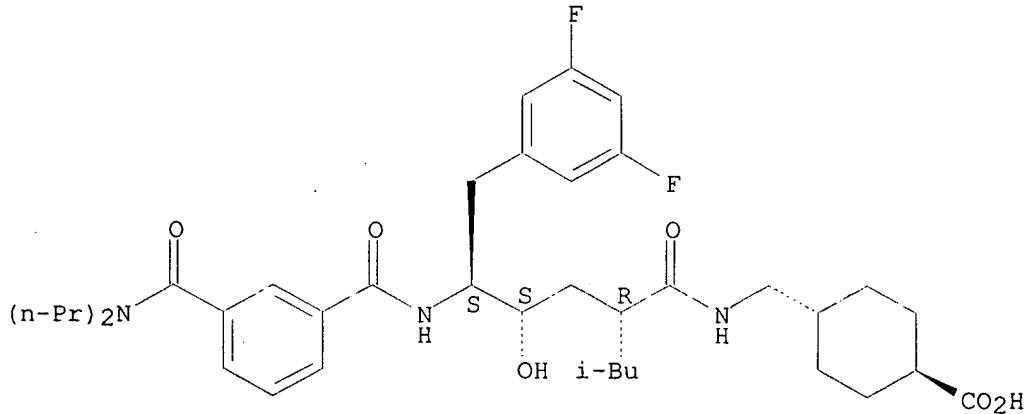
Absolute stereochemistry.



RN 362480-25-9 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-
[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-(2-methylpropyl)-1-
oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

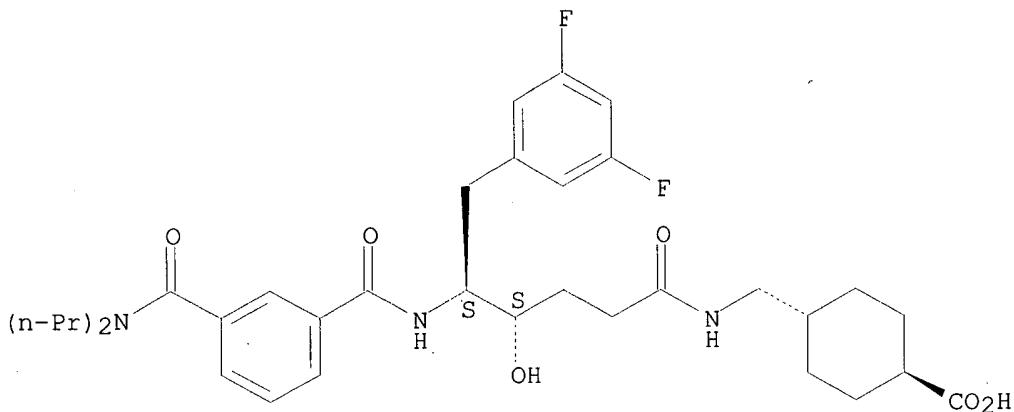
Absolute stereochemistry.



RN 362480-26-0 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

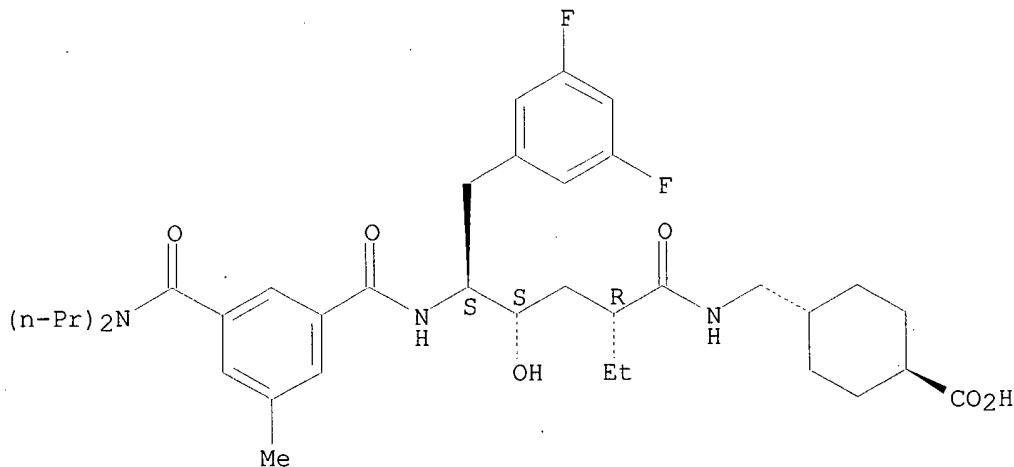
Absolute stereochemistry.



RN 362480-27-1 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

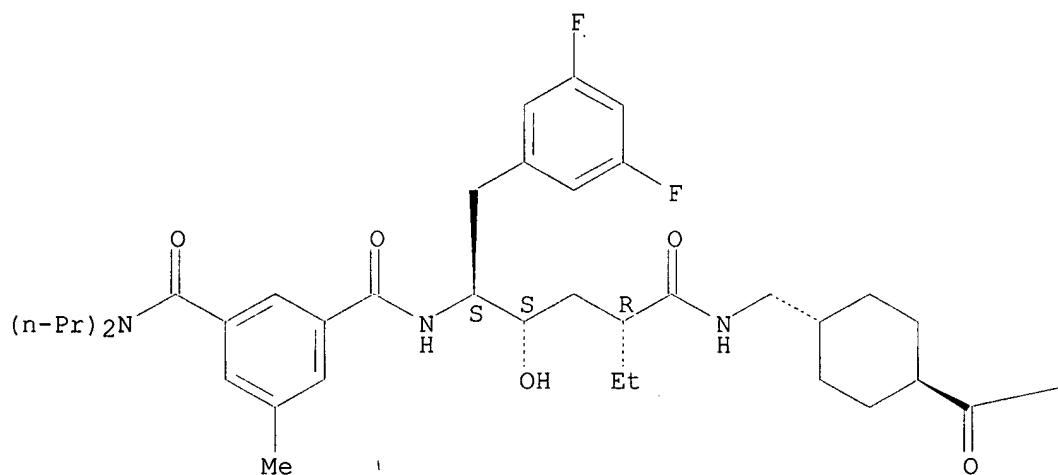


RN 362480-28-2 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



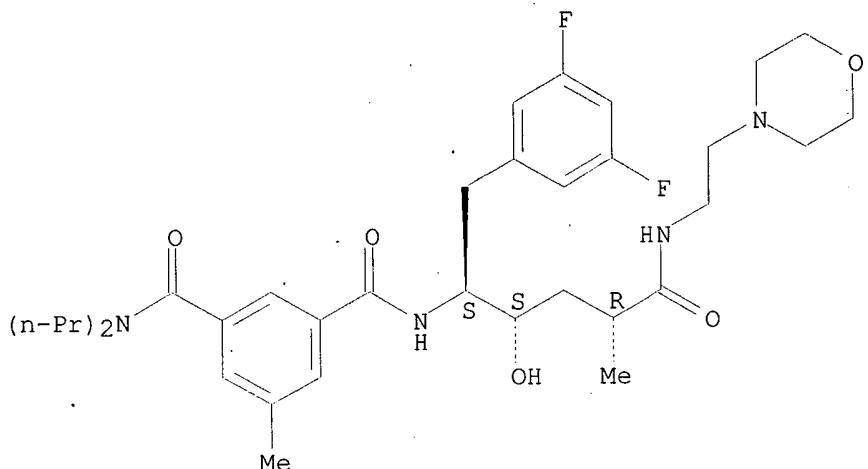
PAGE 1-B

—OMe

RN 362480-29-3 USPATFULL

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[2-(4-morpholinyl)ethyl]amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

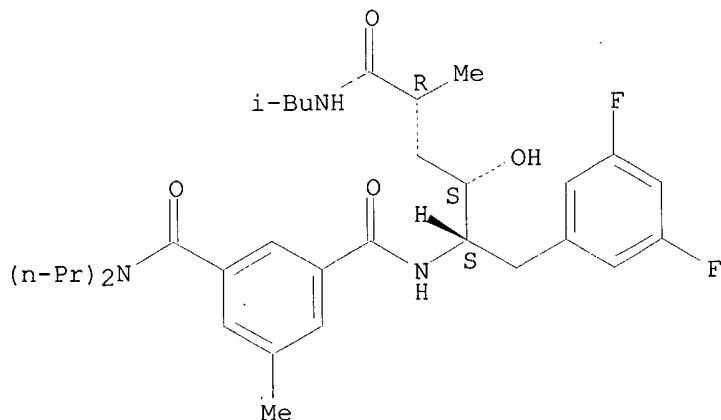
Absolute stereochemistry.



RN 362480-30-6 USPATFULL

CN 1,3-Benzeneddicarboxamide, N'-[(1S, 2S, 4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

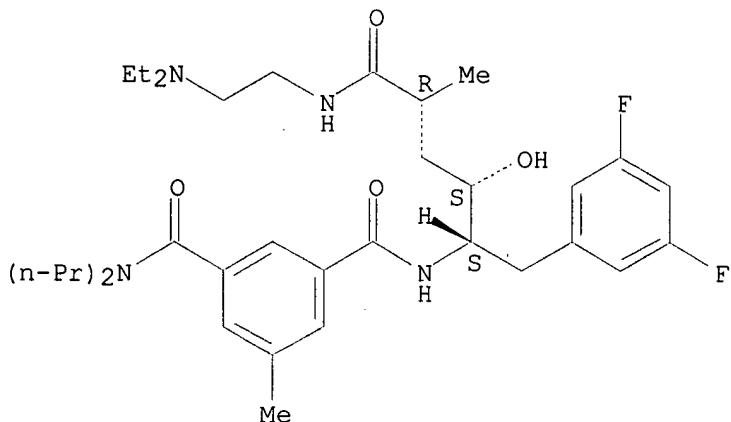
Absolute stereochemistry.



RN 362480-31-7 USPATFULL

CN 1,3-Benzeneddicarboxamide, N'-[(1S, 2S, 4R)-5-[[2-(diethylamino)ethyl]amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

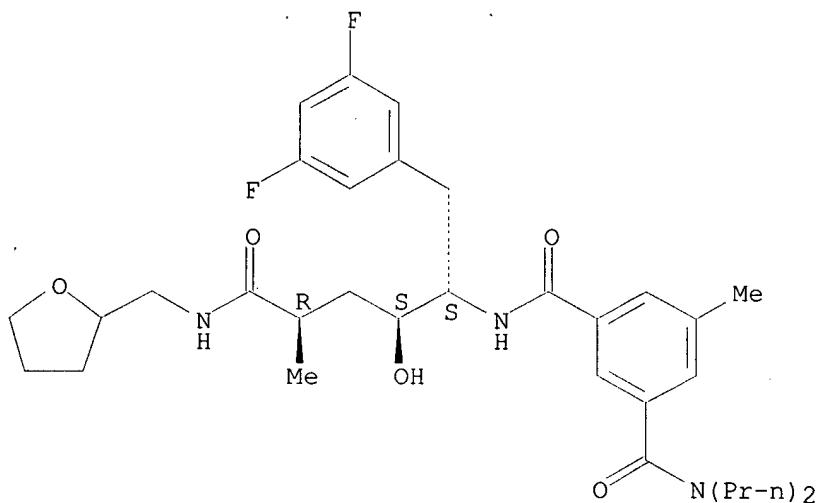
Absolute stereochemistry.



RN 362480-32-8 USPATFULL

CN 1,3-Benzeneddicarboxamide, N'-[{(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

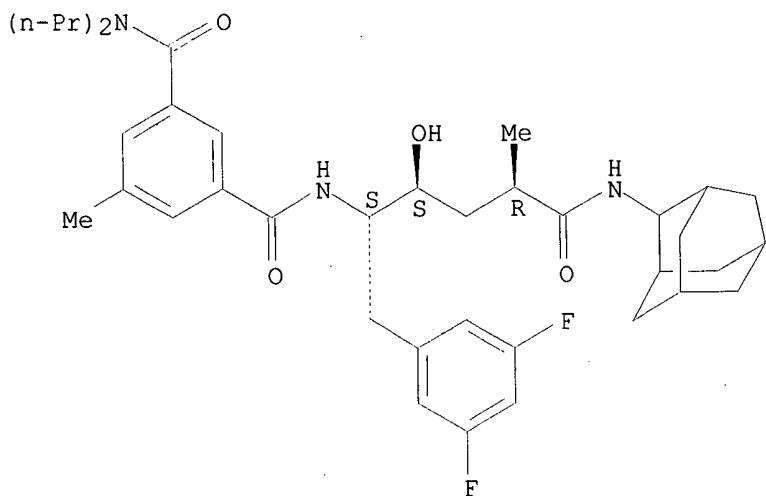
Absolute stereochemistry.



RN 362480-33-9 USPATFULL

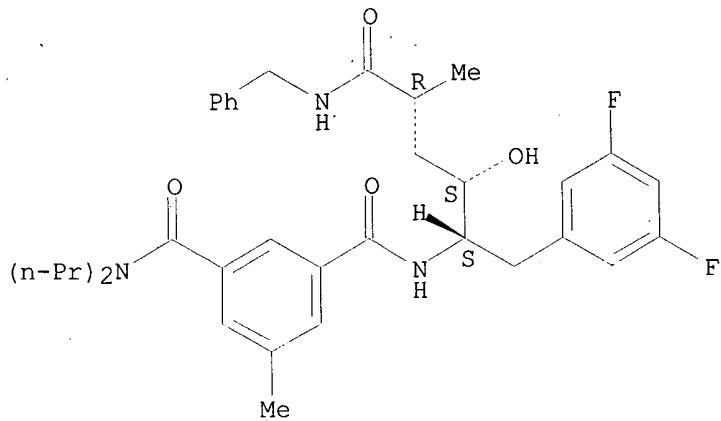
CN 1,3-Benzeneddicarboxamide, N'-[{(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.13,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



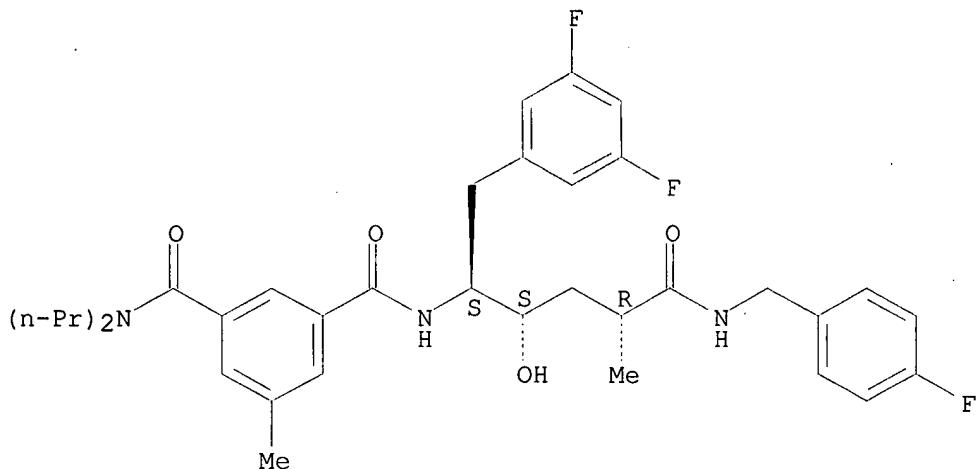
RN 362480-35-1 USPATFULL
CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-36-2 USPATFULL
CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

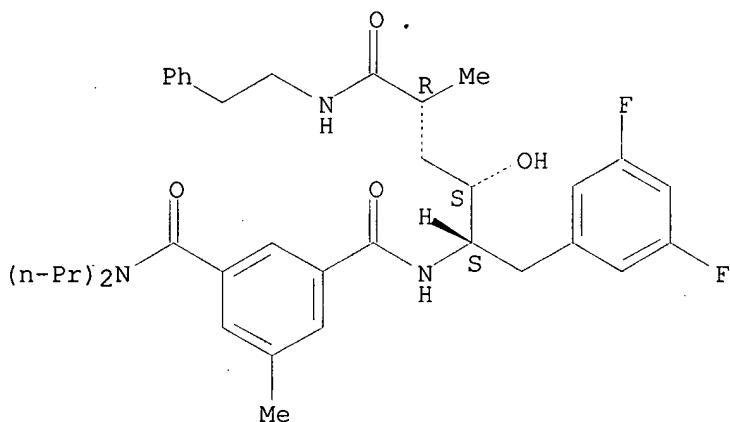
Absolute stereochemistry.



RN: 362480-37-3 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

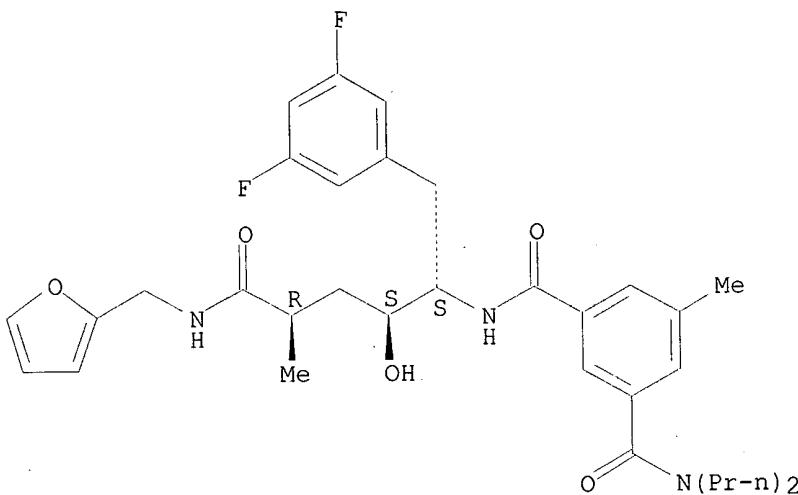
Absolute stereochemistry.



RN: 362480-38-4 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

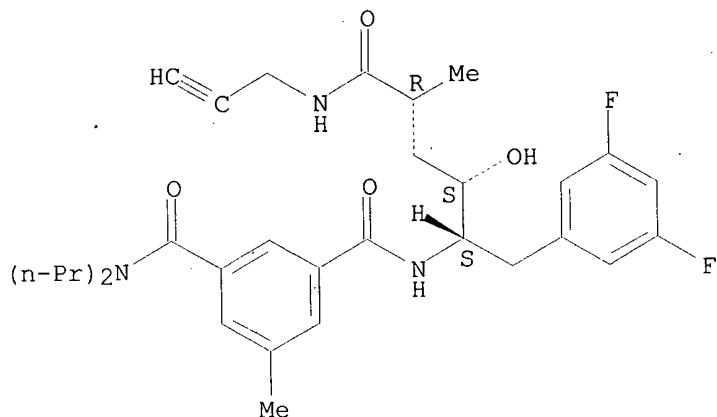
Absolute stereochemistry.



RN 362480-39-5 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)penty1]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 5 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2002:32581 USPATFULL

TITLE: Methods to treat alzheimer's disease

INVENTOR(S): Hom, Roy, San Francisco, CA, UNITED STATES

Mamo, Shumeye S., Oakland, CA, UNITED STATES

Tung, Jay, Belmont, CA, UNITED STATES

Gailunas, Andrea, San Francisco, CA, UNITED STATES

John, Varghese, San Francisco, CA, UNITED STATES

Fang, Lawrence Y., Foster City, CA, UNITED STATES

PATENT INFORMATION:

NUMBER US 2002019403 KIND A1 DATE 20020214

APPLICATION INFO.:

US 2001-816876 A1 20010323 (9)

NUMBER DATE

PRIORITY INFORMATION: US 2000-191528P 20000323 (60)
 DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: MERCHANT & GOULD PC, P.O. BOX 2903, MINNEAPOLIS, MN,
 55402-0903

NUMBER OF CLAIMS: 63
 EXEMPLARY CLAIM: 1
 LINE COUNT: 8655

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed toward substituted hydroxyethylene compounds of formula (XII) ##STR1##

useful in treating Alzheimer's disease and other similar diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

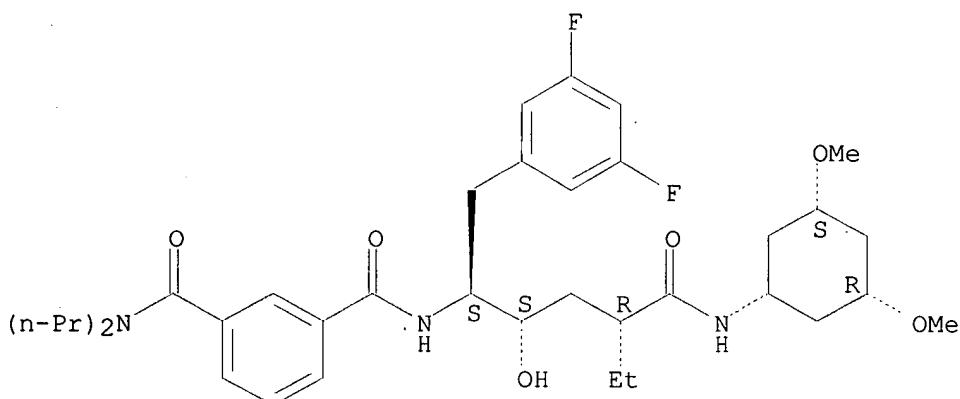
IT 362479-94-5P 362479-95-6P 362479-96-7P
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 362480-36-2P 362480-37-3P 362480-38-4P
 362480-39-5P

(prepn. of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

RN 362479-94-5 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1.alpha.,3.alpha.,5.alpha.)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

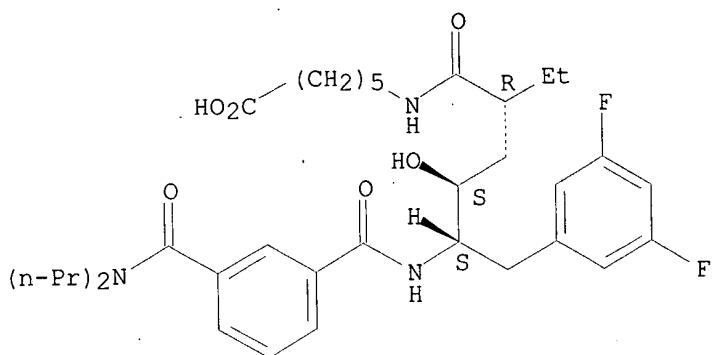
Absolute stereochemistry.



RN 362479-95-6 USPATFULL

CN Hexanoic acid, 6-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

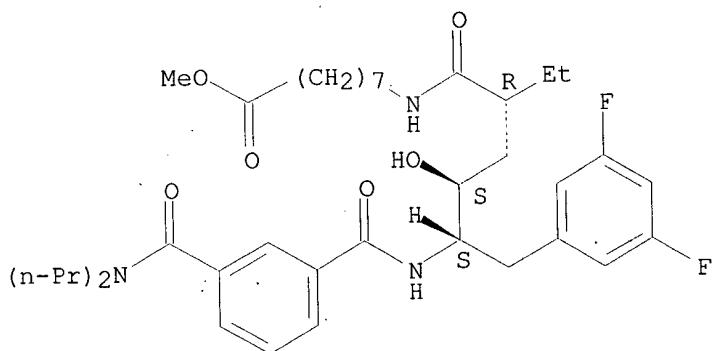
Absolute stereochemistry.



RN 362479-96-7 USPATFULL

CN Octanoic acid, 8-[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

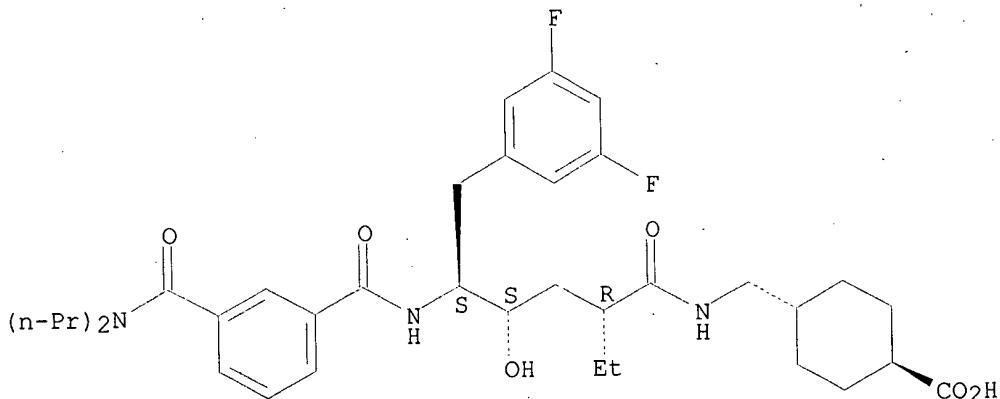
Absolute stereochemistry.



RN 362479-97-8 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

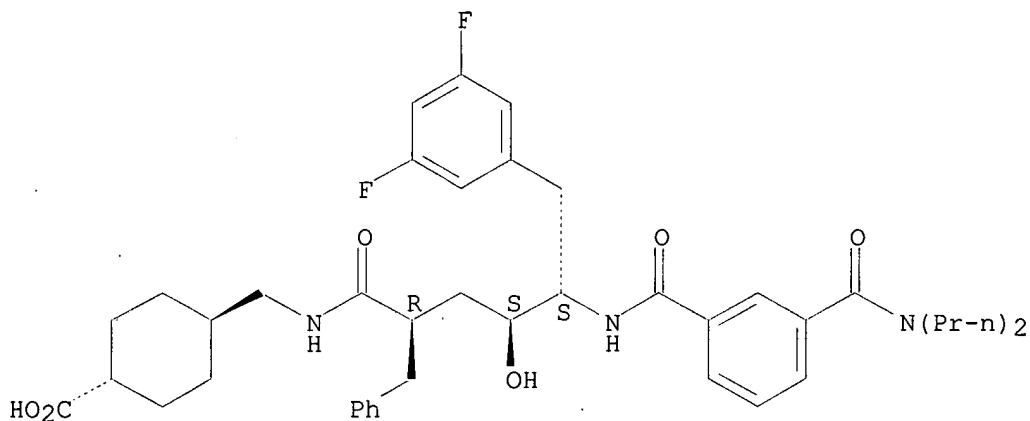
Absolute stereochemistry.



RN 362479-98-9 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

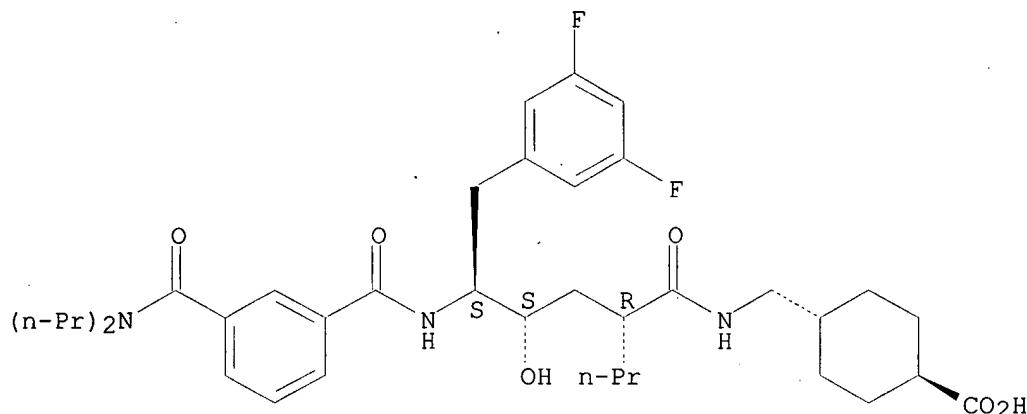
Absolute stereochemistry.



RN 362479-99-0 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

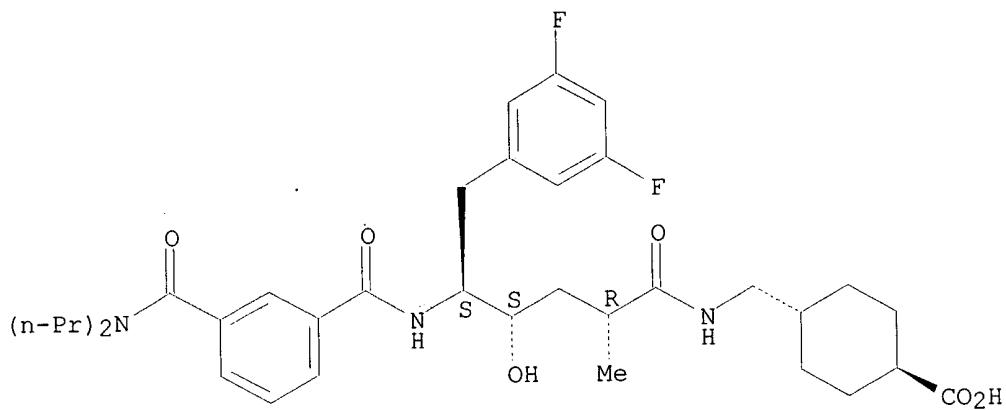
Absolute stereochemistry.



RN 362480-00-0 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

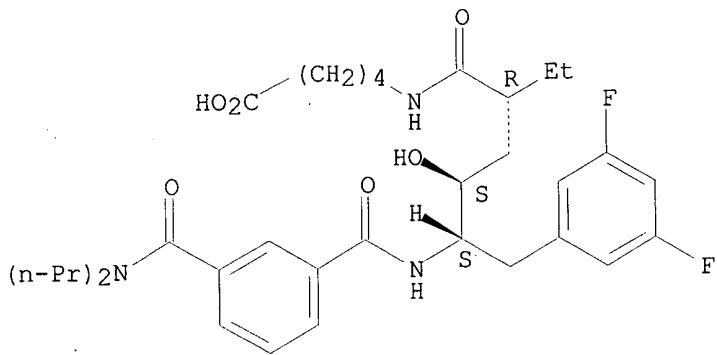
Absolute stereochemistry.



RN 362480-11-3 USPATFULL

CN Pentanoic acid, 5-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-
[dipropylamino]carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-
oxohexyl]amino] - (9CI) (CA INDEX NAME)

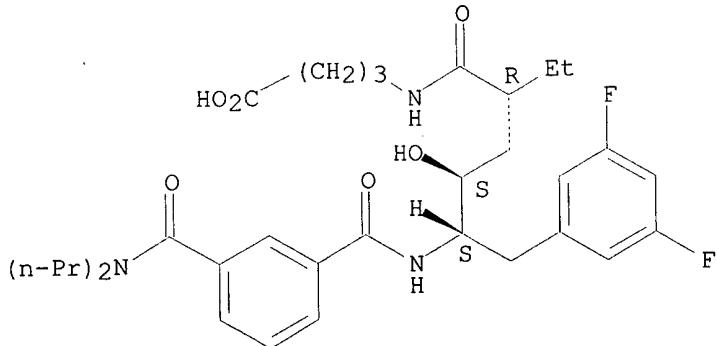
Absolute stereochemistry.



RN 362480-12-4 USPATFULL

CN Butanoic acid, 4-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-
[dipropylamino]carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-
oxohexyl]amino] - (9CI) (CA INDEX NAME)

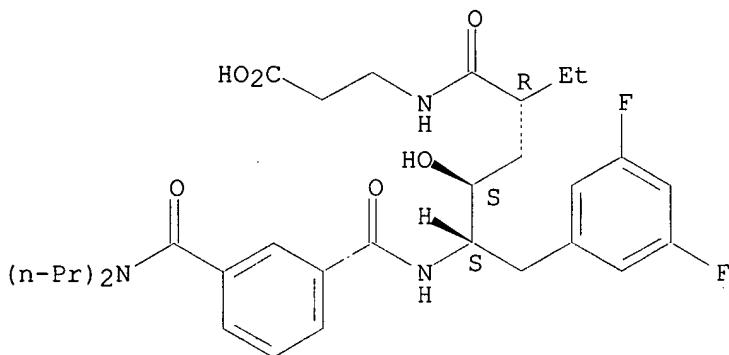
Absolute stereochemistry.



RN 362480-13-5 USPATFULL

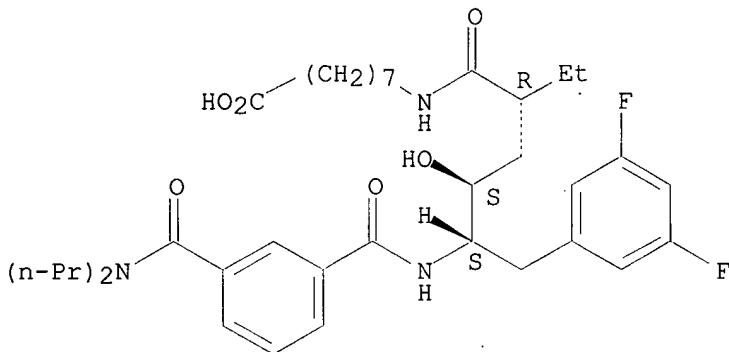
CN .beta.-Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



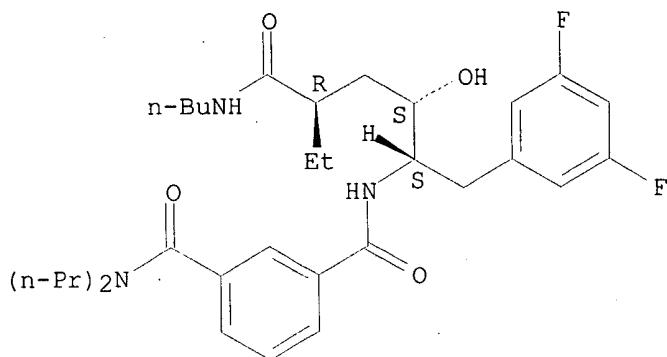
RN 362480-14-6 USPATFULL
CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-
[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-
oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-15-7 USPATFULL
CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-
difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX
NAME)

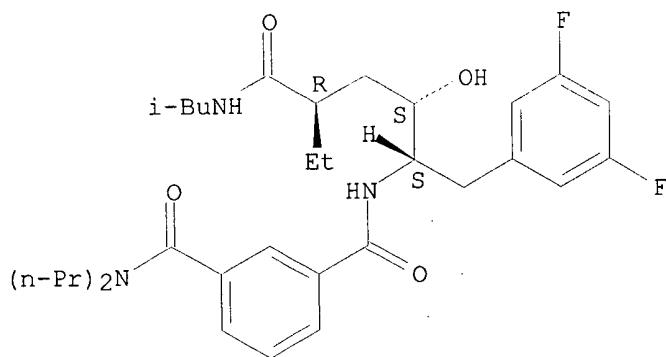
Absolute stereochemistry.



RN 362480-16-8 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(2-methylpropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI)
(CA INDEX NAME)

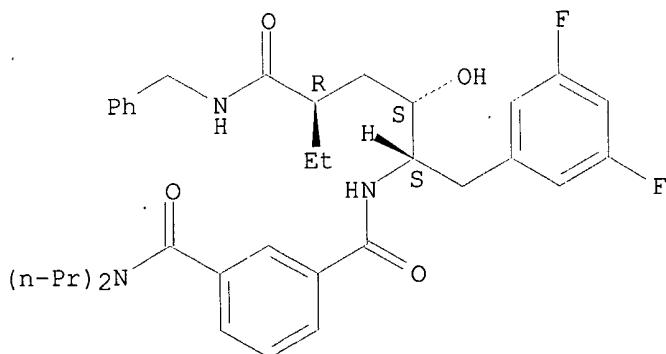
Absolute stereochemistry.



RN 362480-17-9 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[(phenylmethyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

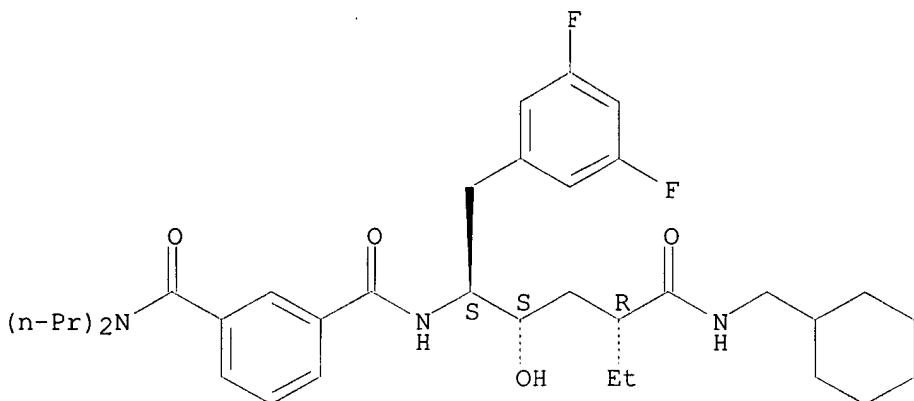


RN 362480-18-0 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-4-[[(cyclohexylmethyl)amino]carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI)

(CA INDEX NAME)

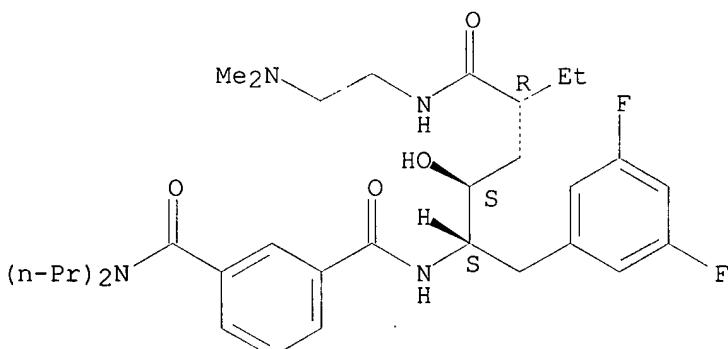
Absolute stereochemistry.



RN 362480-20-4 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

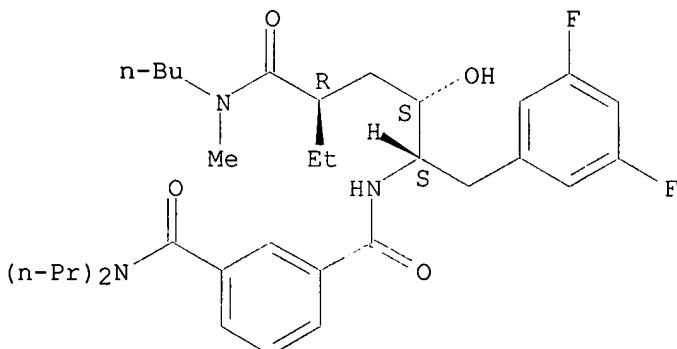
Absolute stereochemistry.



RN 362480-21-5 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

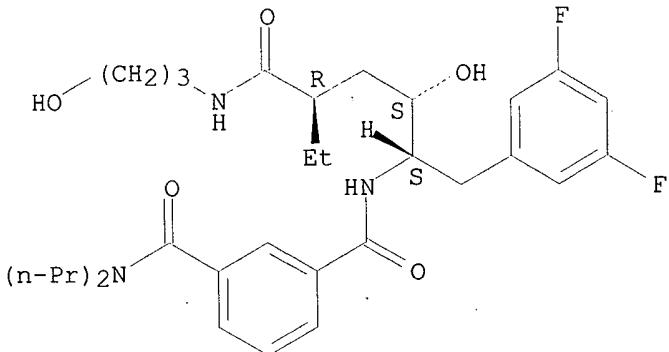
Absolute stereochemistry.



RN 362480-22-6 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[[(3-hydroxypropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

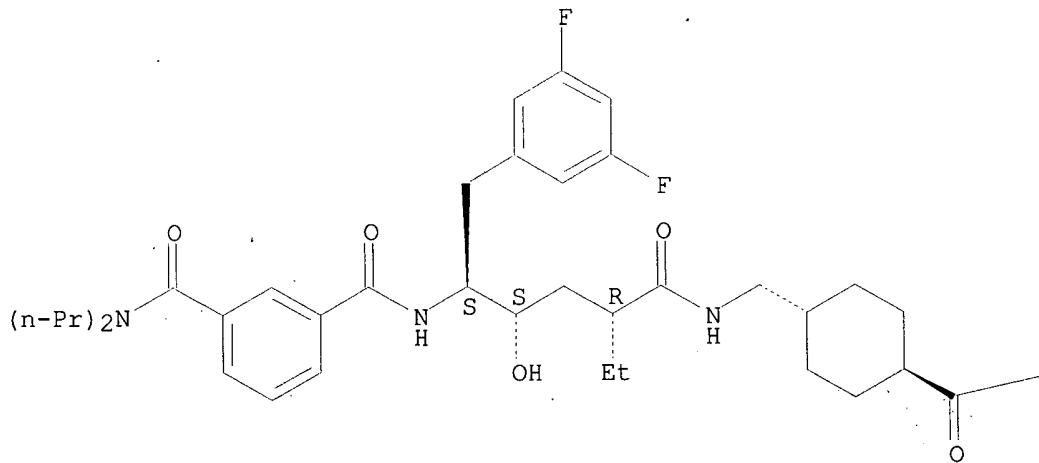


RN 362480-23-7 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



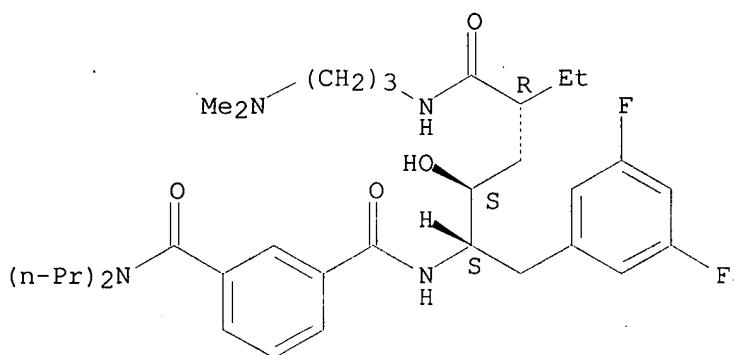
PAGE 1-B

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RN 362480-24-8 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-
[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl-
(9CI) (CA INDEX NAME)

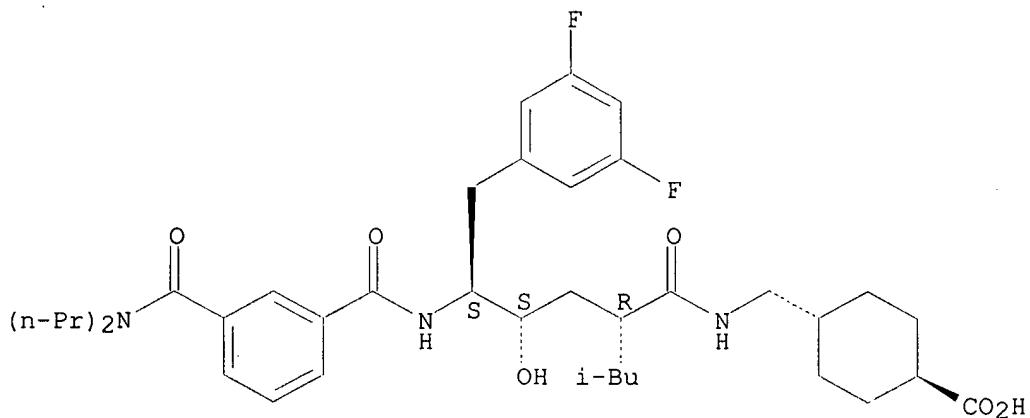
Absolute stereochemistry.



RN 362480-25-9 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-
[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-(2-methylpropyl)-1-
oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

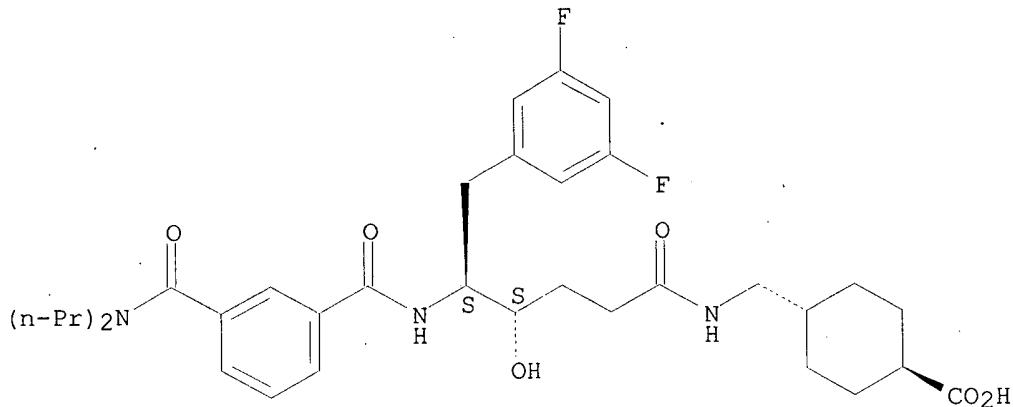
Absolute stereochemistry.



RN 362480-26-0 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

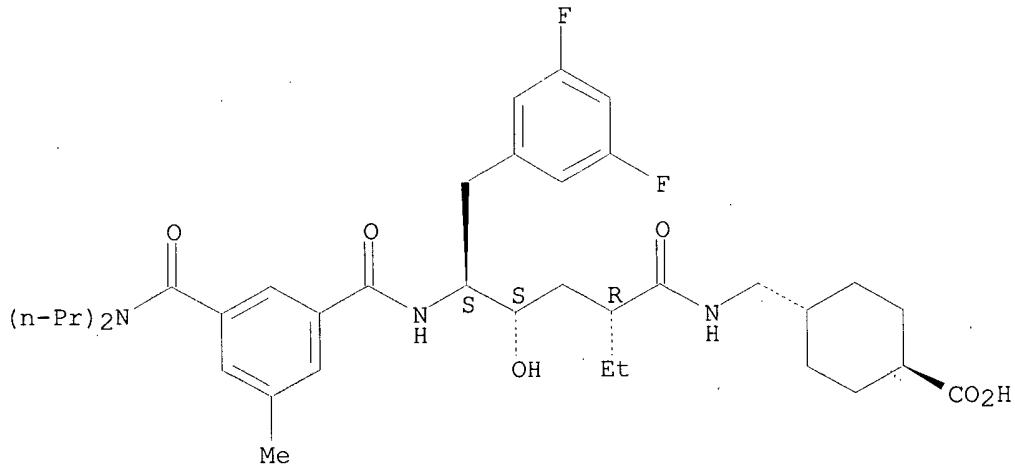
Absolute stereochemistry.



RN 362480-27-1 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

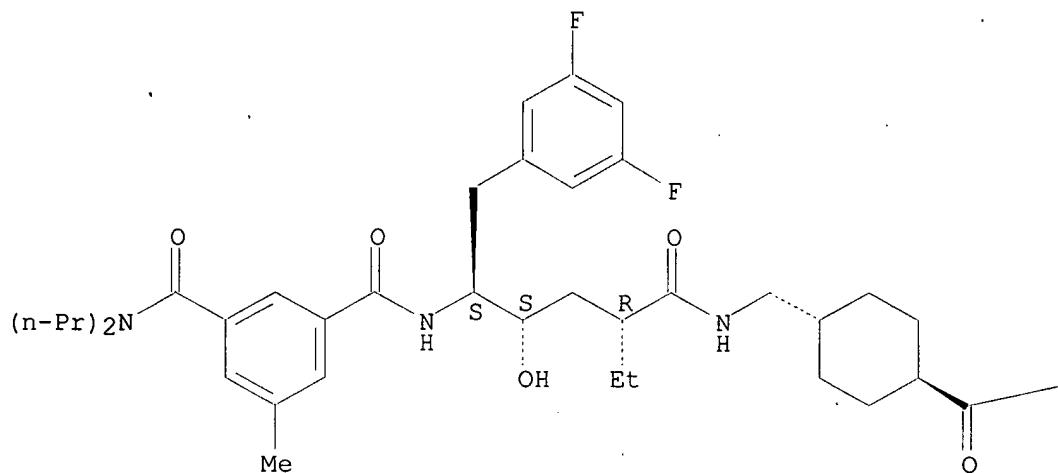


RN 362480-28-2 USPATFULL

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



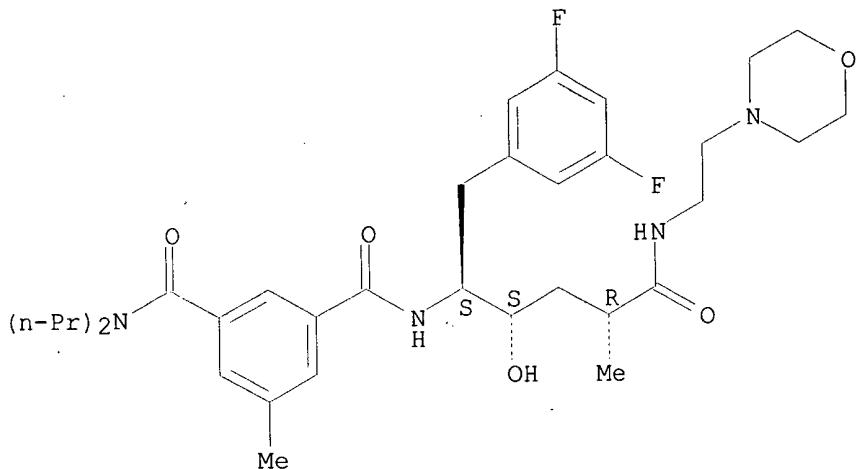
PAGE 1-B

—OMe

RN 362480-29-3 USPATFULL

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-(4-morpholinyl)ethyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

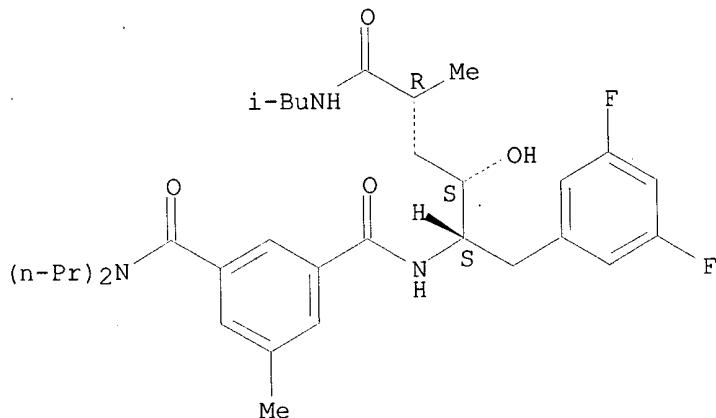
Absolute stereochemistry.



RN 362480-30-6 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

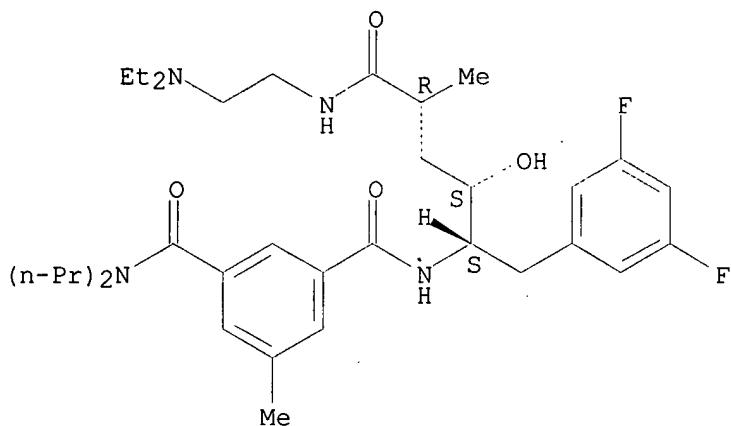
Absolute stereochemistry.



RN 362480-31-7 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-5-[(2-(diethylamino)ethyl)amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

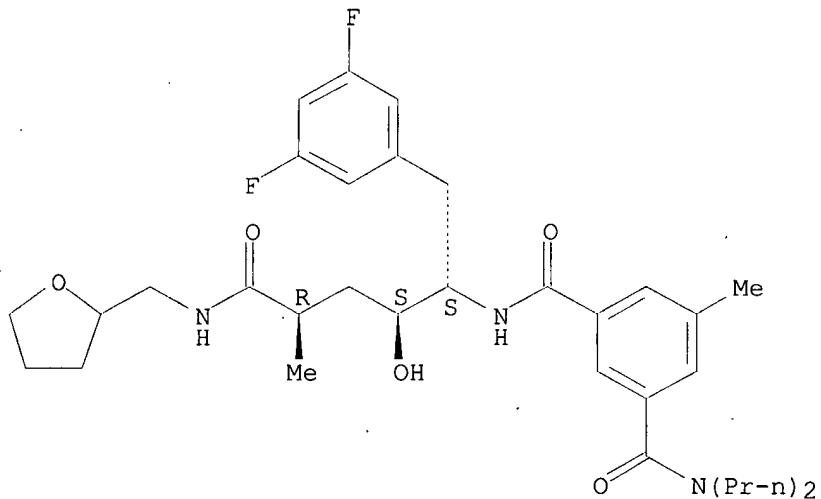
Absolute stereochemistry.



RN 362480-32-8 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

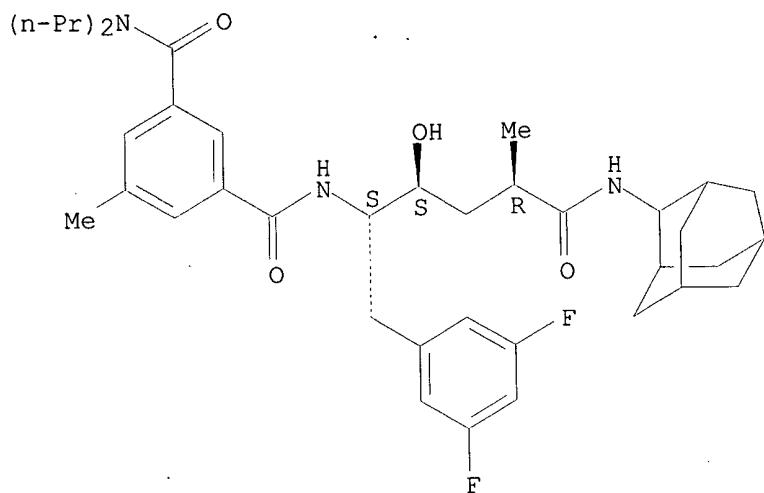
Absolute stereochemistry.



RN 362480-33-9 USPATFULL

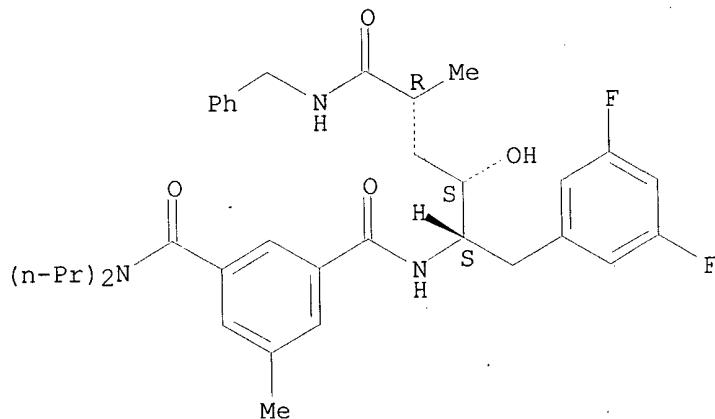
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.13,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



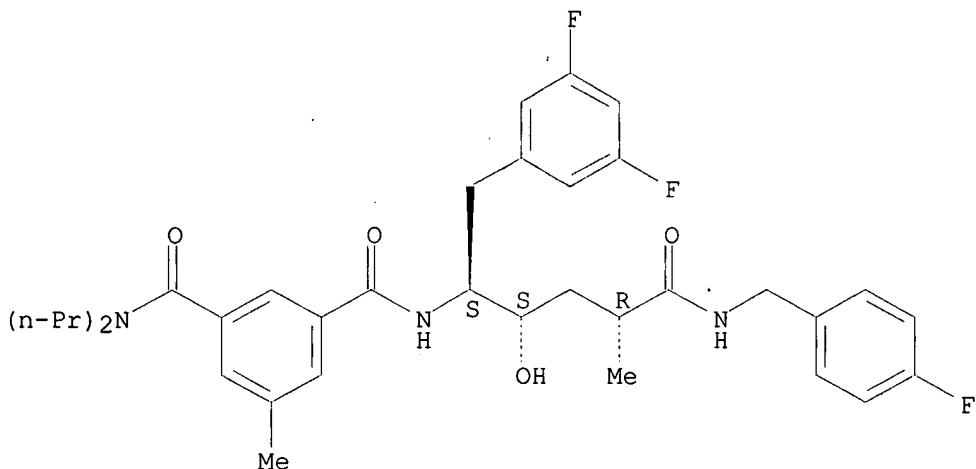
RN 362480-35-1 USPATFULL
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-36-2 USPATFULL
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[[(4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

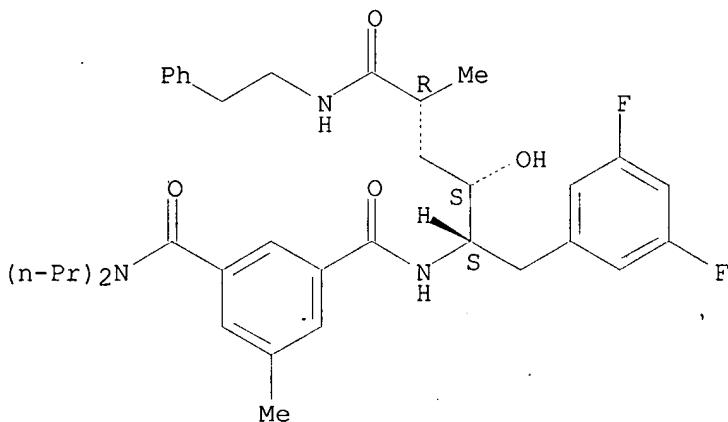
Absolute stereochemistry.



RN 362480-37-3 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

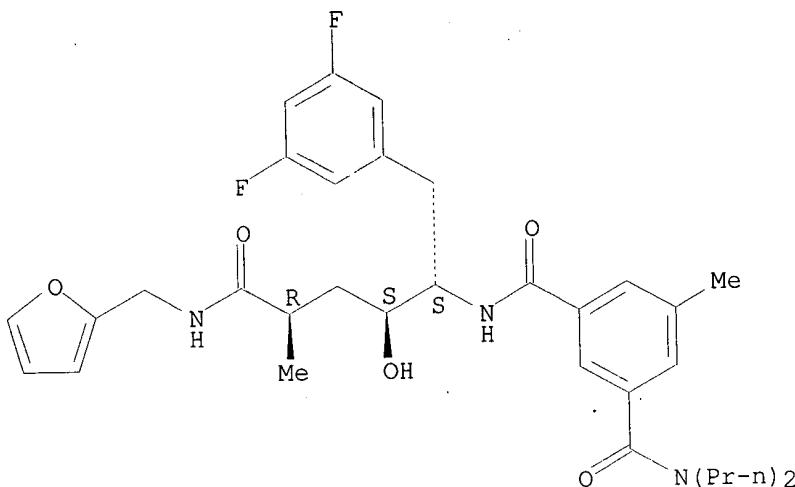
Absolute stereochemistry.



RN 362480-38-4 USPATFULL

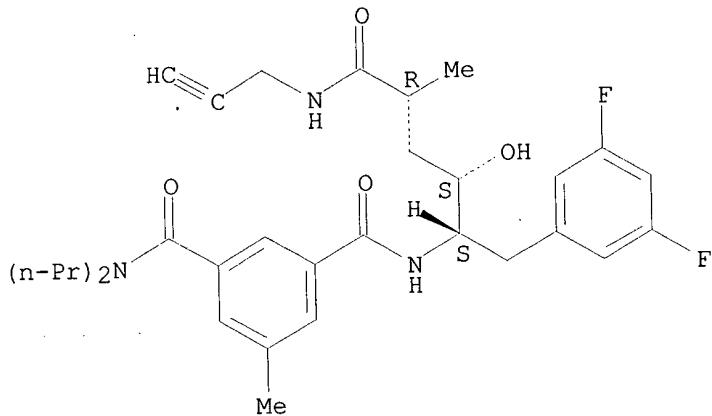
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanyl methyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-39-5 USPATFULL
 CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)pentyl]-5-methyl-N,N-dipropyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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